09/ 830,227

Connecting via Winsock to STN

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	DEC 08	INPADOC: Legal Status data reloaded
NEWS 5	SEP 29	DISSABS now available on STN
NEWS 6	OCT 10	PCTFULL: Two new display fields added
NEWS 7	OCT 21	BIOSIS file reloaded and enhanced
NEWS 8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9	NOV 24	MSDS-CCOHS file reloaded
NEWS 10	DEC 08	CABA reloaded with left truncation
NEWS 11	DEC 08	IMS file names changed
NEWS 12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14	DEC 17	DGENE: Two new display fields added
NEWS 15	DEC 18	BIOTECHNO no longer updated
NEWS 16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes
NEWS 23	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 24	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 25	MAR 03	FRANCEPAT now available on STN

NEWS EXPRESS	MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 17:03:41 ON 24 MAR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:03:50 ON 24 MAR 2004

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAR 2004 HIGHEST RN 666817-09-0
DICTIONARY FILE UPDATES: 23 MAR 2004 HIGHEST RN 666817-09-0

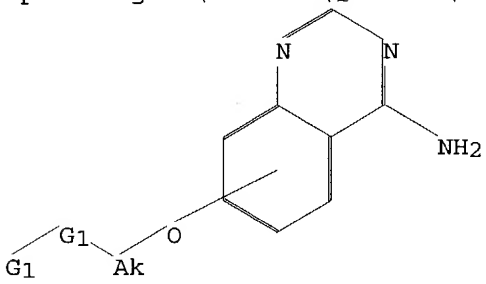
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

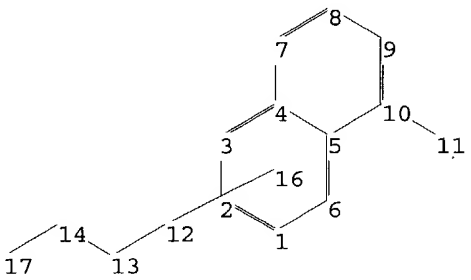
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\09830227.str





chain nodes :
11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
14 17
chain bonds :
10-11 12-13 13-14
ring/chain bonds :
14-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :

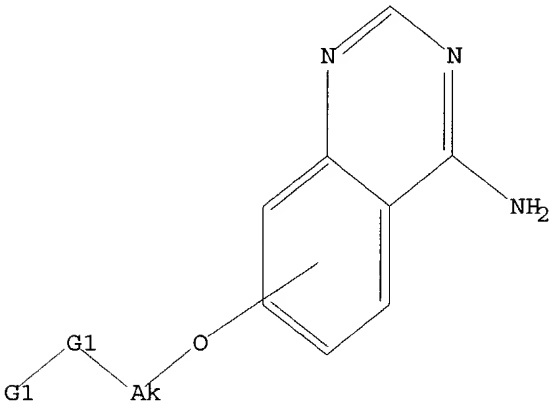
10-11 12-13 13-14 14-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS
Generic attributes :
13:
Number of Carbon Atoms : less than 7

L1 STRUCTURE UPLOADED

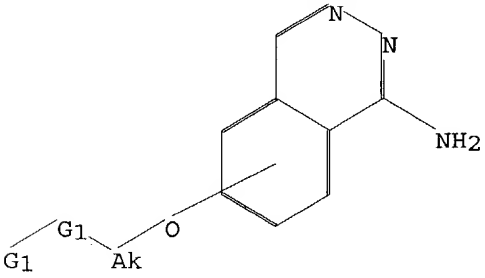
=> d l1
L1 HAS NO ANSWERS
L1 STR

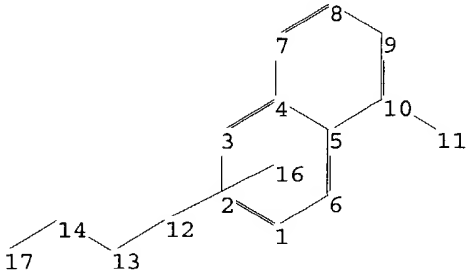


G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\STNEXP4\QUERIES\09830227b.str





chain nodes :
11 12 13

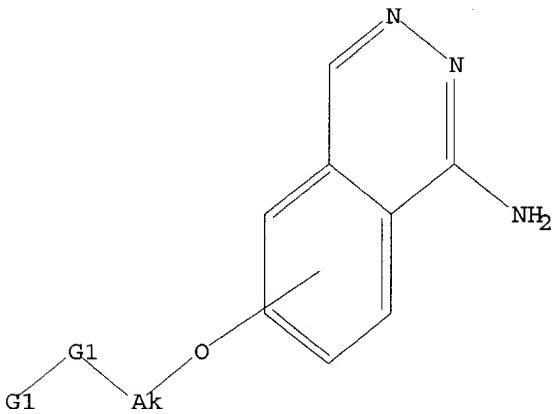
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
14 17
chain bonds :
10-11 12-13 13-14
ring/chain bonds :
14-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
10-11 12-13 13-14 14-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS
Generic attributes :
13:
Number of Carbon Atoms : less than 7

L2 STRUCTURE UPLOADED

=> d l2
L2 HAS NO ANSWERS
L2 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 17:04:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 43382 TO ITERATE

09/ 830,227

100.0% PROCESSED 43382 ITERATIONS 81 ANSWERS
SEARCH TIME: 00.00.02

L3 81 SEA SSS FUL L1

=> s l2 ful
FULL SEARCH INITIATED 17:04:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6798 TO ITERATE

100.0% PROCESSED 6798 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L2

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:04:51 ON 24 MAR 2004

FILE COVERS 1907 - 24 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 23 Mar 2004 (20040323/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L5 25 L3

=> d l5 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:521325 CAPLUS
DOCUMENT NUMBER: 139:239662
TITLE: High throughput screening identifies novel inhibitors of Escherichia coli dihydrofolate reductase that are competitive with dihydrofolate
AUTHOR(S): Zolli-Juran, Michela; Cechetto, Jonathan D.; Hartlen, Rebecca; Daigle, Denis M.; Brown, Eric D.
CORPORATE SOURCE: Department of Biochemistry, McMaster HTS Lab, McMaster University, Hamilton, ON, L8N 3Z5, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(15), 2493-2496
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal

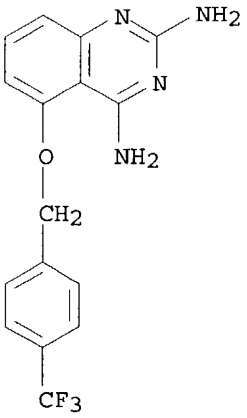
LANGUAGE: English

AB This communication describes the high-throughput screen of a diverse library of 50,000 small mols. against Escherichia coli dihydrofolate reductase to detect inhibitors. Sixty-two compds. were identified as having significant inhibitory activity against the enzyme. Secondary screening of these revealed twelve mols. that were competitive with dihydrofolate, nine of which have not been previously characterized as inhibitors of dihydrofolate reductase. These novel mols. ranged in potency (Ki) from 26 nM to 11 μM and may represent fresh starting points for new small mol. therapeutics directed against dihydrofolate reductase.

IT **215925-78-3**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(high throughput screening identifies novel inhibitors of Escherichia coli dihydrofolate reductase that are competitive with dihydrofolate)

RN 215925-78-3 CAPLUS

CN 2,4-Quinazolinediamine, 5-[[4-(trifluoromethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:31424 CAPLUS

DOCUMENT NUMBER: 136:102393

TITLE: Preparation of quinazolinylureas for treatment of solid tumors.

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.

SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002534	A1	20020110	WO 2001-GB2874	20010628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2002016758 A5 20020114 AU 2002-16758 20010628

PRIORITY APPLN. INFO.: EP 2000-401897 A 20000703
WO 2001-GB2874 W 20010628

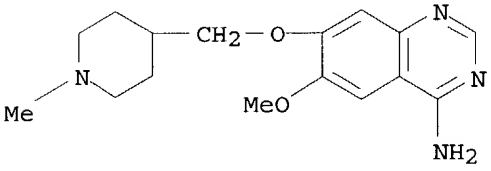
OTHER SOURCE(S): MARPAT 136:102393

AB Use of Q1R2NC(:Z)NR3Q2 [Q1 = (substituted) (fused) quinazolinyl, quinolinyl, etc.; Q2 = (substituted) aryl, aralkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkyl; R2R3 = CH2, CH2CH2, (CH2)3] as antiinvasive agents in the containment and/or treatment of solid tumor disease is claimed. Thus, 2,6-dichlorophenyl isocyanate was added to a solution of 4-amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline (preparation given) in CH2Cl2/DMF followed by stirring to give 1-(2,6-dichlorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]urea. Title compds. inhibited proliferation of NIH 3T3 fibroblasts with IC50 in the range, for example, of 0.001-10 μM.

IT **320365-82-0P 320365-83-1P 320365-84-2P**
320365-85-3P 320365-86-4P 320365-88-6P
320365-89-7P 320365-91-1P 320365-92-2P
320365-93-3P 320365-94-4P 320365-95-5P
320365-96-6P 320365-97-7P 320365-98-8P
320366-04-9P 320366-06-1P 320366-08-3P
320366-10-7P 320366-14-1P 320366-18-5P
320366-20-9P 320366-22-1P 320366-24-3P
320366-26-5P 320366-28-7P 320366-30-1P
320366-31-2P 320366-46-9P 320366-64-1P
320366-66-3P 320366-70-9P 320366-71-0P
320367-02-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinylureas for treatment of solid tumors)

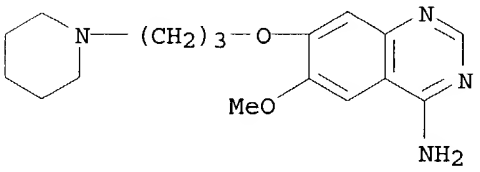
RN 320365-82-0 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



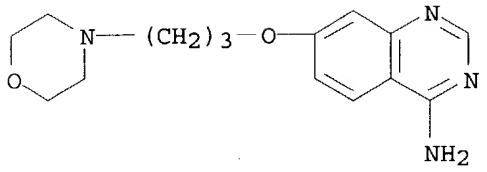
RN 320365-83-1 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-84-2 CAPLUS

CN 4-Quinazolinamine, 7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-85-3 CAPLUS
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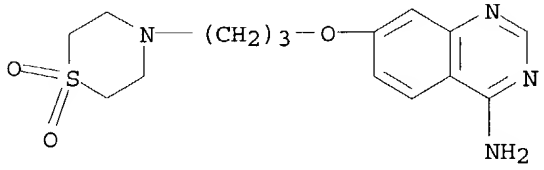

RN 320365-86-4 CAPLUS
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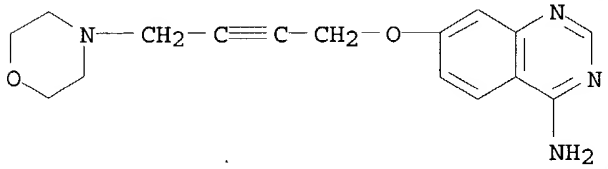
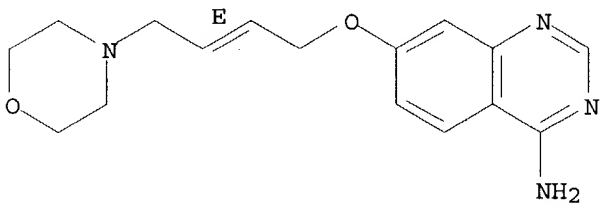
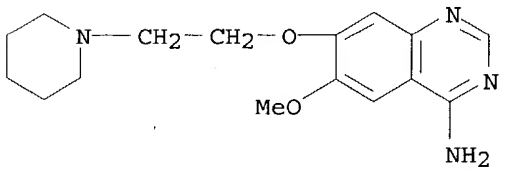


RN 320365-88-6 CAPLUS
CN 4-Quinazolinamine, 7-[[(2E)-4-(4-morpholinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

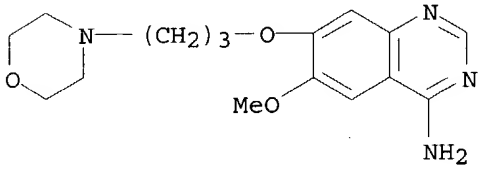
Double bond geometry as shown.



RN 320365-89-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320365-91-1 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-92-2 CAPLUS
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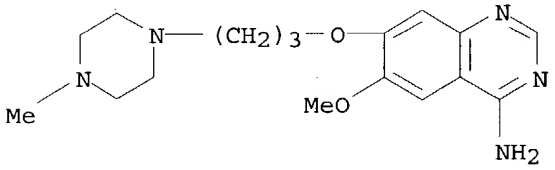

RN 320365-93-3 CAPLUS
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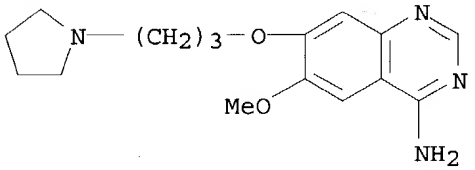

RN 320365-94-4 CAPLUS
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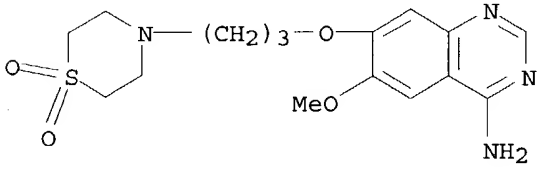

RN 320365-95-5 CAPLUS
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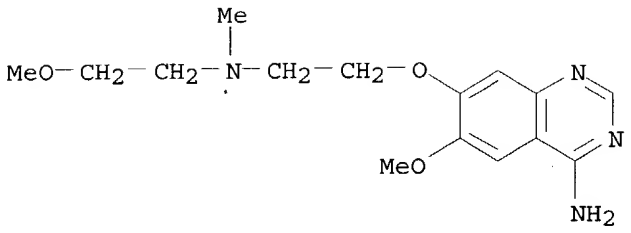

RN 320365-96-6 CAPLUS
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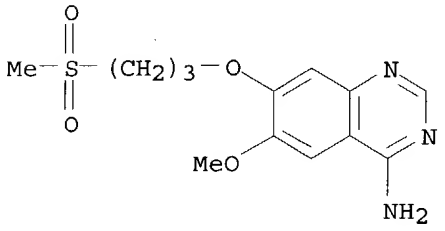
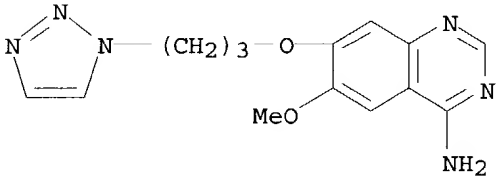


RN 320365-97-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-98-8 CAPLUS
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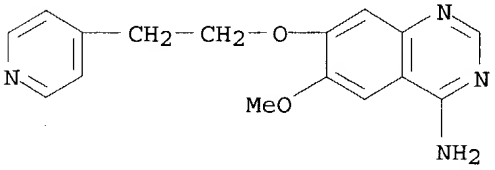

RN 320366-04-9 CAPLUS
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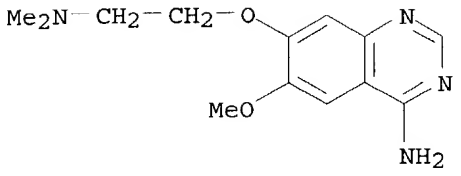
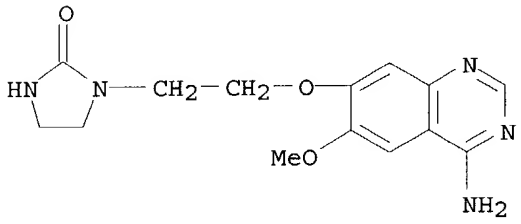
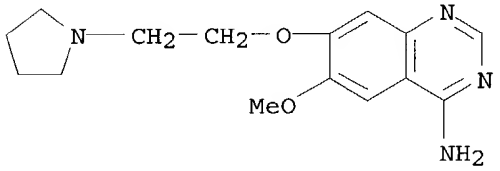


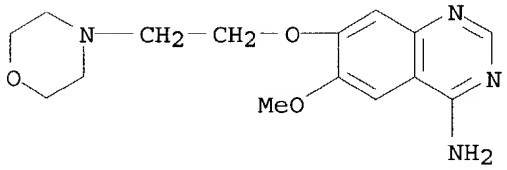
RN 320366-06-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(4-amino-6-methoxy-7-quinazolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



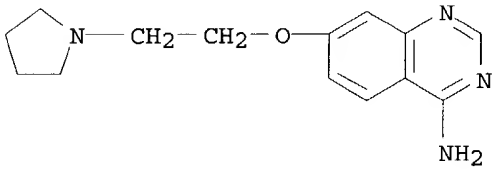
RN 320366-08-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-10-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

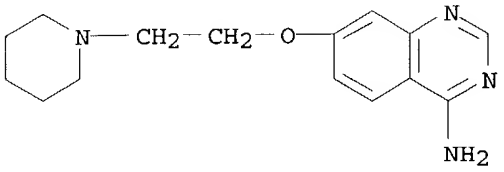


RN 320366-14-1 CAPLUS
CN 4-Quinazolinamine, 7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)

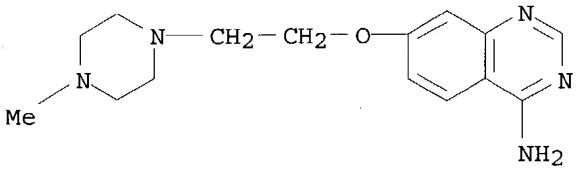


RN 320366-18-5 CAPLUS

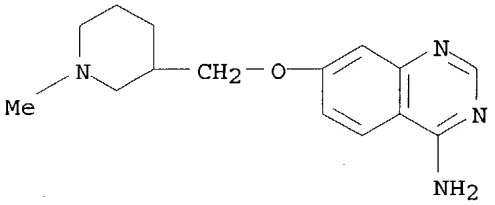
CN 4-Quinazolinamine, 7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



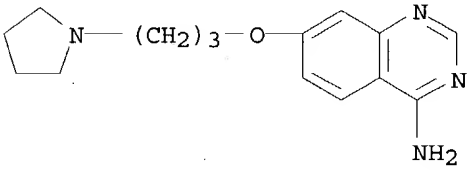
RN 320366-20-9 CAPLUS
CN 4-Quinazolinamine, 7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



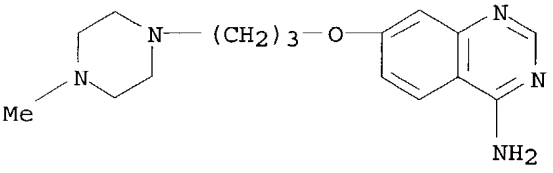
RN 320366-22-1 CAPLUS
CN 4-Quinazolinamine, 7-[(1-methyl-3-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



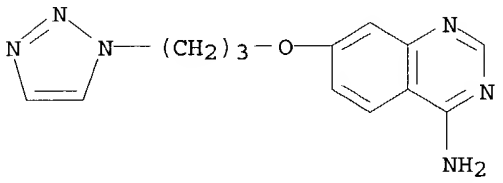
RN 320366-24-3 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-26-5 CAPLUS
CN 4-Quinazolinamine, 7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

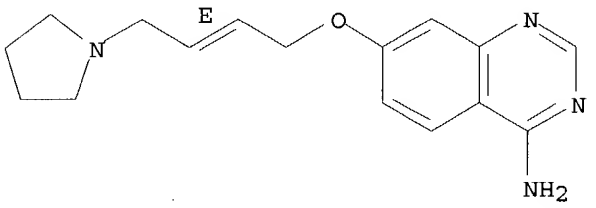


RN 320366-28-7 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)

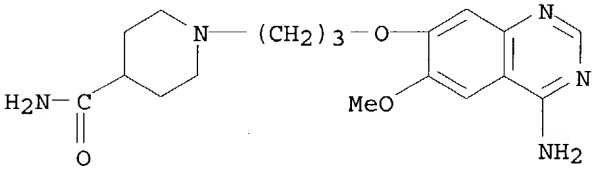


RN 320366-30-1 CAPLUS
CN 4-Quinazolinamine, 7-[[(2E)-4-(1-pyrrolidinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

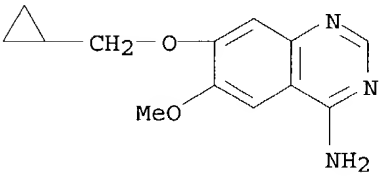
Double bond geometry as shown.



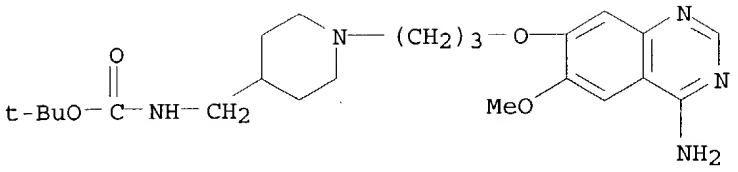
RN 320366-31-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]- (9CI) (CA INDEX NAME)



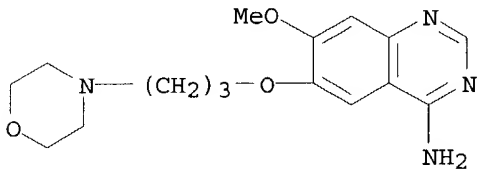
RN 320366-46-9 CAPLUS
CN 4-Quinazolinamine, 7-(cyclopropylmethoxy)-6-methoxy- (9CI) (CA INDEX NAME)



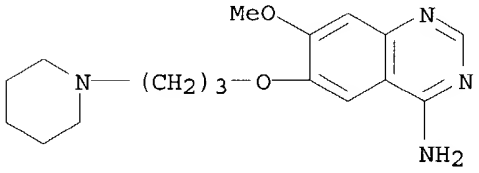
RN 320366-64-1 CAPLUS
CN Carbamic acid, [[1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



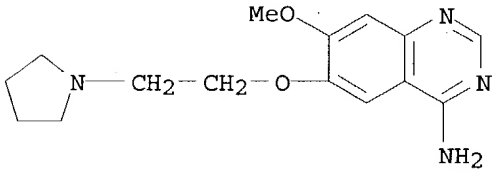
RN 320366-66-3 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



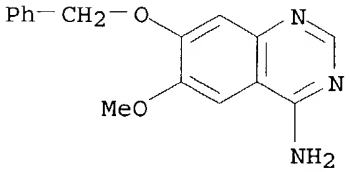
RN 320366-70-9 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-71-0 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320367-02-0 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

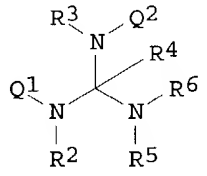


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:10463 CAPLUS
DOCUMENT NUMBER: 136:85816
TITLE: Synthesis of guanidine derivatives of quinazoline and quinoline for use in the treatment of autoimmune diseases
INVENTOR(S): Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000644	A1	20020103	WO 2001-GB2698	20010619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296973	A1	20030402	EP 2001-940757	20010619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: GB 2000-15376 A 20000624
GB 2000-30989 A 20001219
WO 2001-GB2698 W 20010619
OTHER SOURCE(S): MARPAT 136:85816
GI



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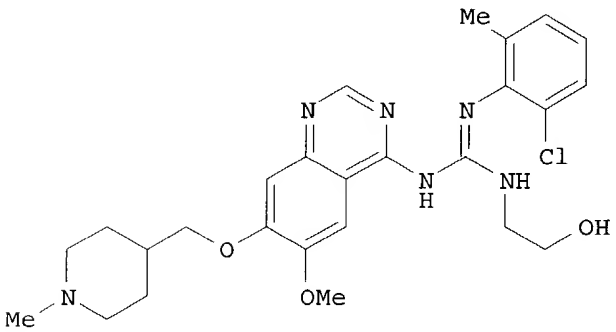
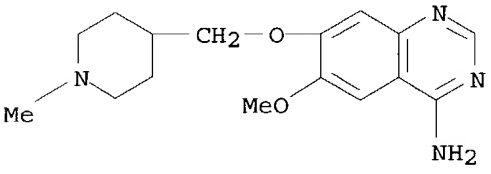


II

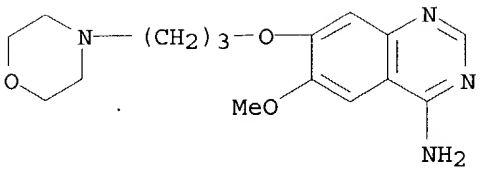
AB Title compds. I [Q1 = (un)substituted quinazolinyl and quinazolinyl-like ring; R2 = H, alkyl; R3 = H, alkyl, or R2 and R3 together form a CH2, (CH2)2 or (CH2)3 group; R5 = H, alkyl, or R5 and R6 together with the N atom to which they are attached form a 4- to 7-membered heterocyclic ring optionally containing a further heteroatom selected from O, N and S, provided that one of the pairs of groups R2 and R4 together, R3 and R4 together and R5 and R4 together forms a bond; Q2 = aryl, arylalkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl or heteroarylcycloalkyl; R6 = (un)substituted group selected from alkenyl, alkynyl, cycloalkyl and cycloalkenyl, or R6 is a substituted alkyl group, and wherein adjacent carbon atoms in any alkylene chain within a R6 group are optionally separated by the insertion into the chain of a group selected from O, S, SO, SO2, amino, CO, etc.; or a tautomer thereof] were prepared Over 100 synthetic examples were provided. E.g., Et 3-methoxy-4-((N-methylpiperidin-4-yl)methoxy)benzoate (preparation given) was nitrated (CH2Cl2, TFA, HNO3, 0°C), the nitro group reduced (MeOH, Pt/C, 1.8 atm H2), the product condensed/cyclized (2-methoxyethanol, 115°C, 2 h) and treated with thionyl chloride to give 4-chloro-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline. This intermediate was treated with 4-bromo-2-fluorophenol (DMF, K2CO3, 100°C, 2.5 h), ammonia in isopropanol (2M, 130°C, 16 h) to give the 4-aminoquinazoline derivative which was reacted with 2-chloro-6-methylphenylisothiocyanate (DMF, NaH) to afford 1-(2-chloro-6-methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea. The thiourea was treated with 2-aminoethanol (CHCl3/MeOH, HgO, 2 h) to give example compound II. I are used in the prevention or treatment of T cell mediated diseases.

IT **320365-82-0P**, 4-Amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline **320365-91-1P**, 4-Amino-6-methoxy-7-(3-morpholinopropoxy)quinazoline **320365-93-3P**, 4-Amino-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline **320366-08-3P**, 4-Amino-6-methoxy-7-(2-(pyrrolidin-1-yl)ethoxy)quinazoline **320366-10-7P**, 4-Amino-6-methoxy-7-(2-morpholinoethoxy)quinazoline **320366-46-9P**, 4-Amino-7-cyclopropylmethoxy-6-methoxyquinazoline **320367-02-0P**, 4-Amino-7-benzyloxy-6-methoxyquinazoline **385814-23-3P**, 4-Amino-6-methoxy-7-(2-pyridylmethoxy)quinazoline **385814-28-8P**, 4-Amino-7-(N-tert-butoxycarbonylpiperidin-4-ylmethoxy)-6-methoxyquinazoline **385814-97-1P**, 4-Amino-7-(2-morpholinoethoxy)quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

RN 320365-82-0 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 320365-91-1 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-93-3 CAPLUS
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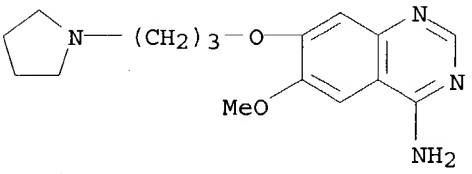
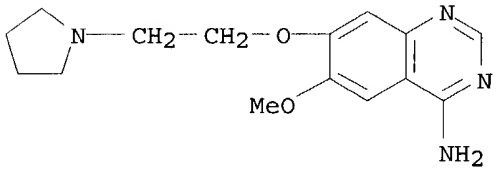
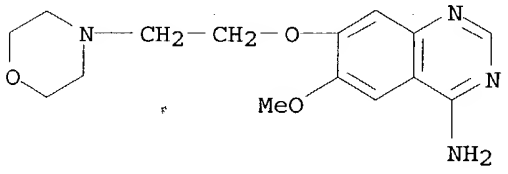


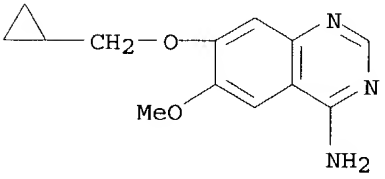
RN 320366-08-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-10-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

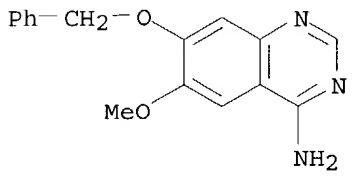


RN 320366-46-9 CAPLUS
CN 4-Quinazolinamine, 7-(cyclopropylmethoxy)-6-methoxy- (9CI) (CA INDEX NAME)

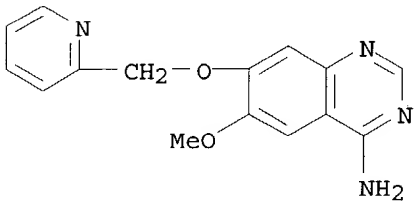


RN 320367-02-0 CAPLUS

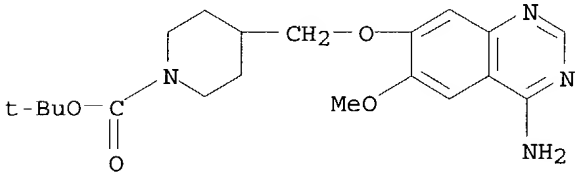
CN 4-Quinazolinamine, 6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



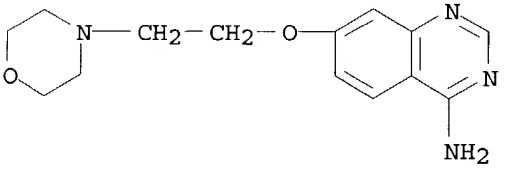
RN 385814-23-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 385814-28-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-amino-6-methoxy-7-quinazolinyl)oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

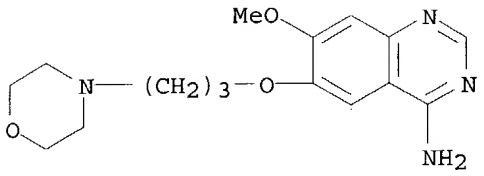


RN 385814-97-1 CAPLUS
CN 4-Quinazolinamine, 7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

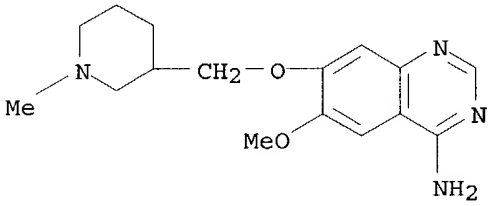


IT **320366-66-3**, 4-Amino-7-methoxy-6-(3-morpholinopropoxy)quinazoline
385814-42-6, 4-Amino-6-methoxy-7-((N-methylpiperidin-3-yl)methoxy)quinazoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

RN 320366-66-3 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 385814-42-6 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[(1-methyl-3-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



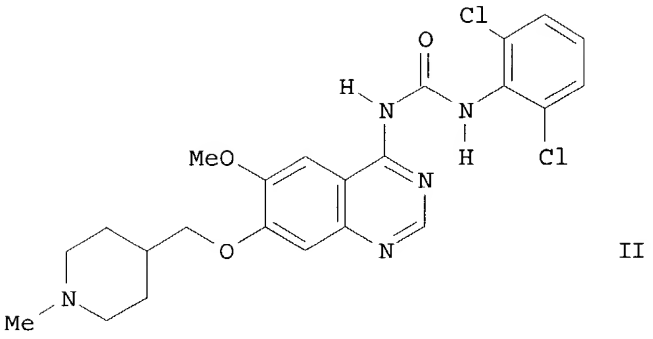
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:676589 CAPLUS
DOCUMENT NUMBER: 135:227013
TITLE: Preparation of quinazolinylureas and analogs as VEGF receptor antagonists
INVENTOR(S): Hennequin, Laurent Francois Andre; Crawley, Graham Charles; McKerrecher, Darren; Ple, Patrick; Poyser, Jeffrey Philip; Lambert, Christine Marie Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066099	A2	20010913	WO 2001-GB863	20010301
WO 2001066099	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1272185	A2	20030108	EP 2001-907938	20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525897	T2	20030902	JP 2001-564752	20010301
US 2003225111	A1	20031204	US 2002-220140	20020828

PRIORITY APPLN. INFO.: EP 2000-400595 A 20000306
WO 2001-GB863 W 20010301
OTHER SOURCE(S): MARPAT 135:227013

GI



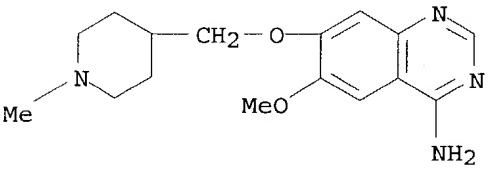
II

AB Q1NR2C(:X)NR3Q2 [I; Q1 = e.g., (un)substituted 4-quinazolinyl; Q2 = (un)substituted (hetero)aryl(alkyl), cycloalkyl, etc.; R2,R3 = H or alkyl; R2R3 = (CH2)1-3; X = O, S, NCN, (alkyl)imino] were prepared Thus, Et piperidine-4-carboxylate was converted in 7 steps to Et 2-amino-5-methoxy-4-(1-methylpiperidine-4-ylmethoxy)benzoate which was cyclocondensed with HC(:NH)NH2.HOAc and the product converted in 4 steps to title compound II. Data for biol. activity of I were given.

IT **320365-82-0P 320365-83-1P**, 4-Amino-6-methoxy-7-(3-piperidinopropoxy)quinazoline **320365-84-2P 320365-85-3P**, 4-Amino-7-[3-(1,1-dioxotetrahydro-4H-1,4-thiazin-4-yl)propoxy]quinazoline **320365-86-4P 320365-88-6P 320365-89-7P 320365-91-1P 320365-92-2P 320365-93-3P 320365-94-4P 320365-95-5P 320365-96-6P 320365-97-7P 320365-98-8P 320366-04-9P 320366-06-1P 320366-08-3P 320366-10-7P 320366-14-1P 320366-18-5P 320366-20-9P 320366-22-1P 320366-24-3P 320366-26-5P 320366-28-7P 320366-30-1P 320366-31-2P 320366-46-9P 320366-64-1P 320366-66-3P 320366-70-9P 320366-71-0P 320367-02-0P**, 4-Amino-7-Benzyloxy-6-methoxyquinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinylureas and analogs as VEGF receptor antagonists)

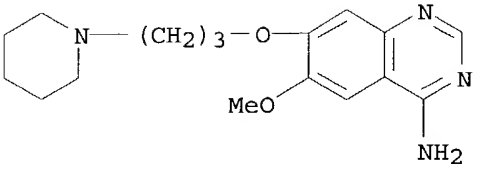
RN 320365-82-0 CAPLUS

CN 4-Quinazolinamine, 6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)

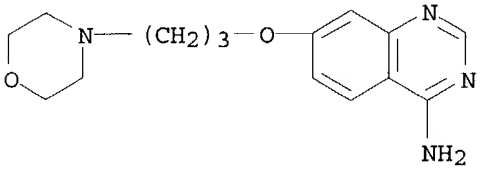


RN 320365-83-1 CAPLUS

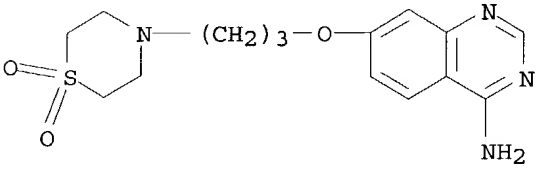
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



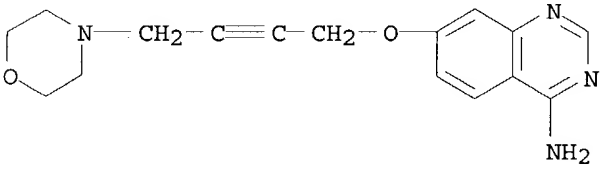
RN 320365-84-2 CAPLUS
CN 4-Quinazolinamine, 7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-85-3 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]- (9CI) (CA INDEX NAME)

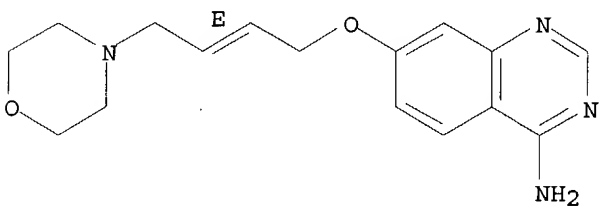


RN 320365-86-4 CAPLUS
CN 4-Quinazolinamine, 7-[[4-(4-morpholinyl)-2-butynyl]oxy]- (9CI) (CA INDEX NAME)



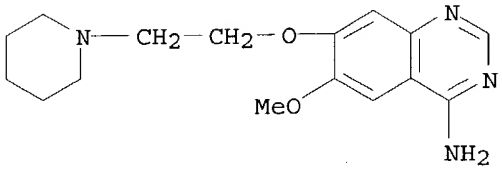
RN 320365-88-6 CAPLUS
CN 4-Quinazolinamine, 7-[[(2E)-4-(4-morpholinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

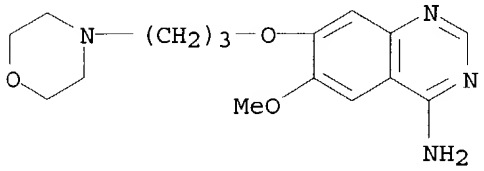


RN 320365-89-7 CAPLUS

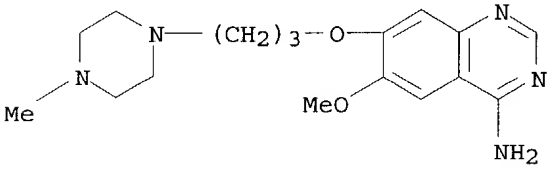
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



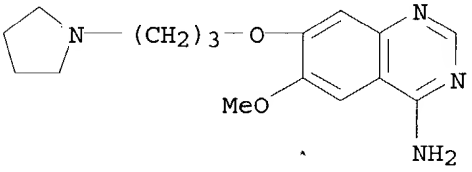
RN 320365-91-1 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



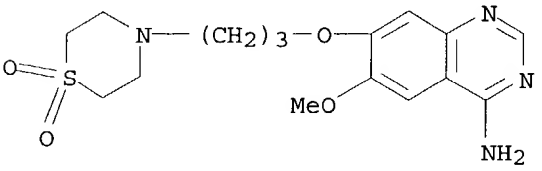
RN 320365-92-2 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



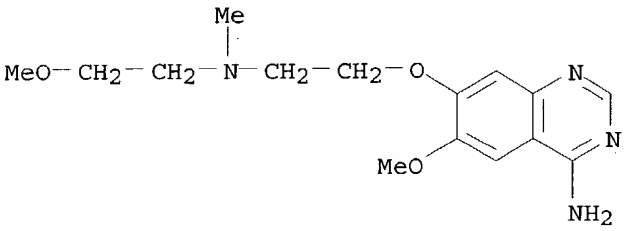
RN 320365-93-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



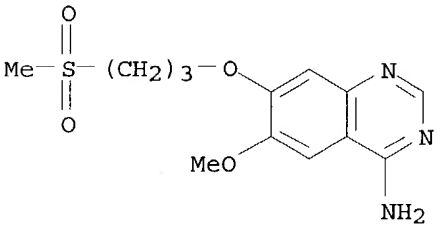
RN 320365-94-4 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxy- (9CI) (CA INDEX NAME)



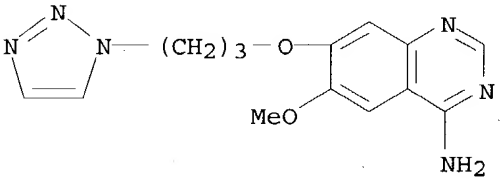
RN 320365-95-5 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-[(2-methoxyethyl)methylamino]ethoxy]- (9CI) (CA INDEX NAME)



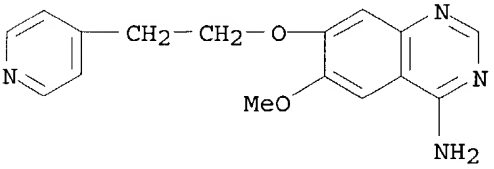
RN 320365-96-6 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



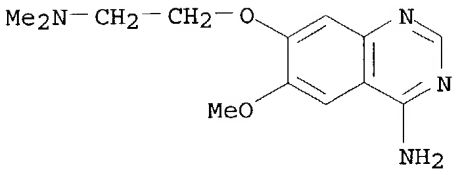
RN 320365-97-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)



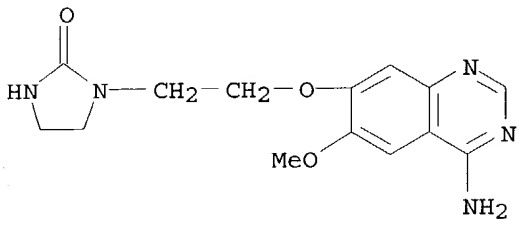
RN 320365-98-8 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-pyridinyl)ethoxy]- (9CI) (CA INDEX NAME)



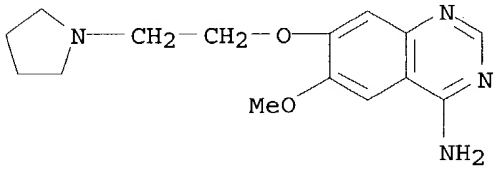
RN 320366-04-9 CAPLUS
CN 4-Quinazolinamine, 7-[2-(dimethylamino)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



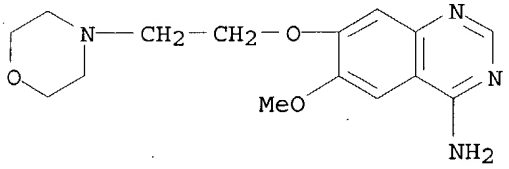
RN 320366-06-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(4-amino-6-methoxy-7-quinazolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



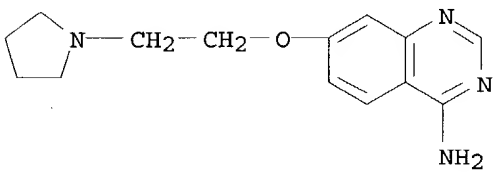
RN 320366-08-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



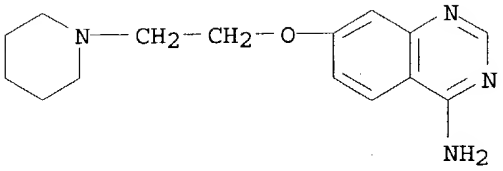
RN 320366-10-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



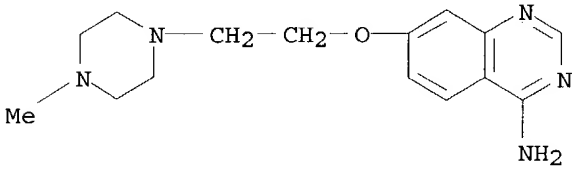
RN 320366-14-1 CAPLUS
CN 4-Quinazolinamine, 7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



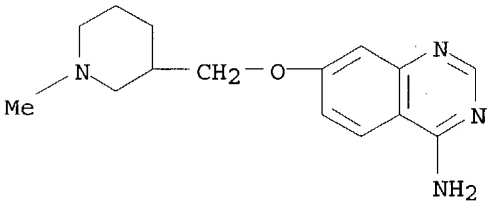
RN 320366-18-5 CAPLUS
CN 4-Quinazolinamine, 7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



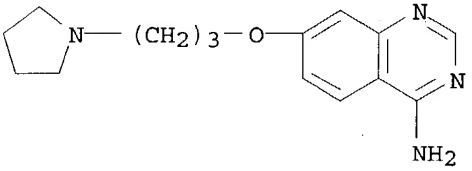
RN 320366-20-9 CAPLUS
CN 4-Quinazolinamine, 7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



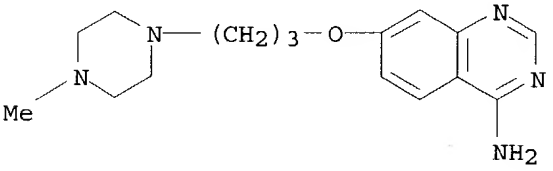
RN 320366-22-1 CAPLUS
CN 4-Quinazolinamine, 7-[(1-methyl-3-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 320366-24-3 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

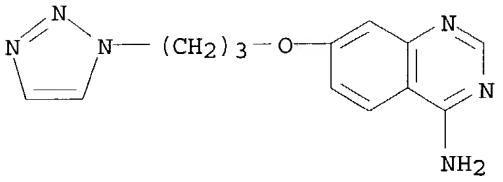


RN 320366-26-5 CAPLUS
CN 4-Quinazolinamine, 7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



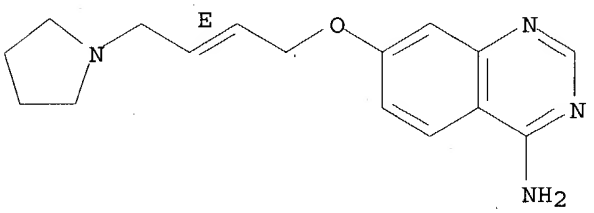
RN 320366-28-7 CAPLUS

CN 4-Quinazolinamine, 7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)

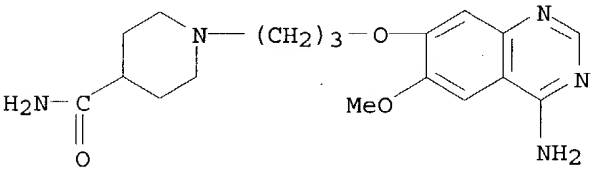


RN 320366-30-1 CAPLUS
CN 4-Quinazolinamine, 7-[[(2E)-4-(1-pyrrolidinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

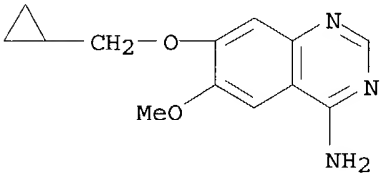
Double bond geometry as shown.



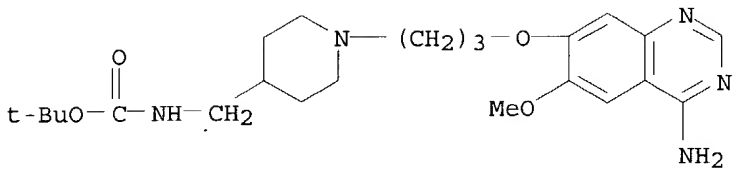
RN 320366-31-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]- (9CI) (CA INDEX NAME)



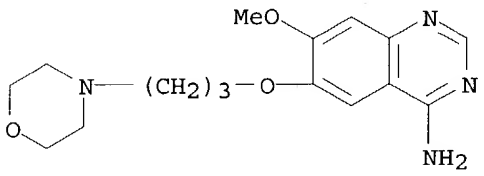
RN 320366-46-9 CAPLUS
CN 4-Quinazolinamine, 7-(cyclopropylmethoxy)-6-methoxy- (9CI) (CA INDEX NAME)



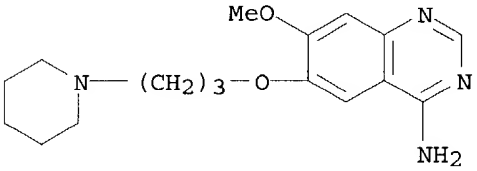
RN 320366-64-1 CAPLUS
CN Carbamic acid, [[1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



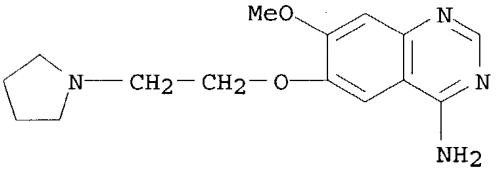
RN 320366-66-3 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



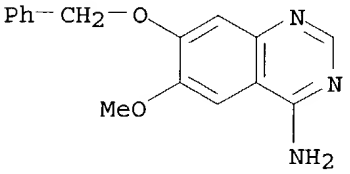
RN 320366-70-9 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-71-0 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320367-02-0 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



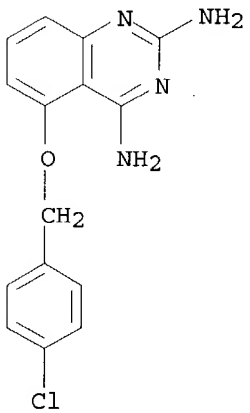
L5 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:657149 CAPLUS

DOCUMENT NUMBER: 135:314860
TITLE: Identification of novel potent inhibitors for ATP-phosphoribosyl transferase using three-dimensional structural database search technique
AUTHOR(S): Gohda, Keigo; Ohta, Daisaku; Kozaki, Akiko; Fujimori, Ko; Mori, Ichiro; Kikuchi, Takeshi
CORPORATE SOURCE: International Research Laboratories, CIBA-GEIGY Japan Ltd., Takarazuka, 665, Japan
SOURCE: Quantitative Structure-Activity Relationships (2001), 20(2), 143-147
CODEN: QSARDI; ISSN: 0931-8771
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We identified new potent inhibitors for ATP-phosphoribosyl transferase, which is the first enzyme in histidine biosynthesis pathway, using three-dimensional database search (3D-search) technique. The 3D-search was based on the structure of product mol., N-1-(5'-phosphoribosyl)-ATP, as a template to find mols. targeting to the binding sites of two substrates (ATP and 5'-phosphoribosyl-1-pyrophosphate), i.e., bi-substrate mimicking. Four com.-available compds. with three different chemical classes were examined out of 36 low-mol. weight compds. selected from the hits of the searches. Amino(chlorophenyl)triazolopyrimidine compds., which are the simplest and smallest ones, showed potent activity (e.g., 92% inhibition at 100 μM). The structural comparison with the product mol. suggests that the simultaneous occupation of two substrate-binding sites likely enhances the enzyme inhibition. The most potent compound examined in this study was a disulfide-bond containing mol. (IC50 = 50 nM), whose mode of action seems to be different from the others.

IT **215925-76-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(identification of ATP-phosphoribosyl transferase inhibitors, using three-dimensional structural database search technique)

RN 215925-76-1 CAPLUS
CN 2,4-Quinazolinediamine, 5-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:50631 CAPLUS
DOCUMENT NUMBER: 134:100885
TITLE: Preparation of quinazolinyl ureas, thioureas and

guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions

INVENTOR(S): Crawley, Graham Charles; McKerrecher, Darren; Poyser, Jeffrey Philip; Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie-Paul

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca Pharma S.A.

SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2

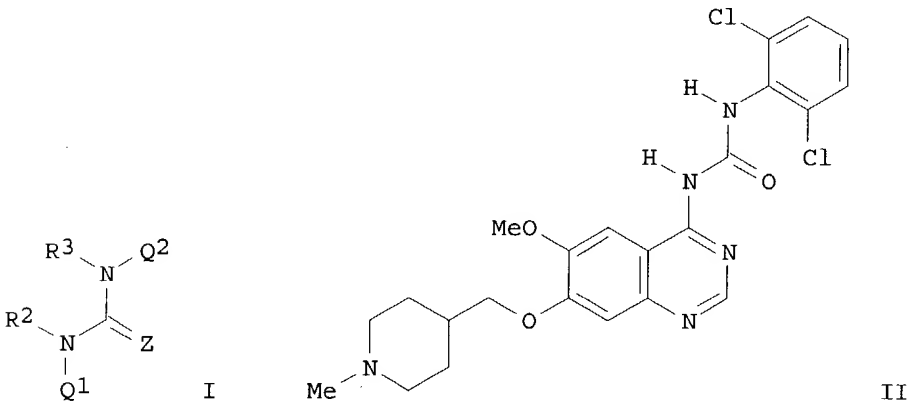
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004102	A1	20010118	WO 2000-GB2566	20000704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012157	A	20020402	BR 2000-12157	20000704
EP 1218353	A1	20020703	EP 2000-953271	20000704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003504360	T2	20030204	JP 2001-509712	20000704
ZA 2001009864	A	20030228	ZA 2001-9864	20011129
NO 2002000042	A	20020304	NO 2002-42	20020104

PRIORITY APPLN. INFO.: EP 1999-401692 A 19990707
EP 2000-401221 A 20000504
WO 2000-GB2566 W 20000704

OTHER SOURCE(S): MARPAT 134:100885

GI

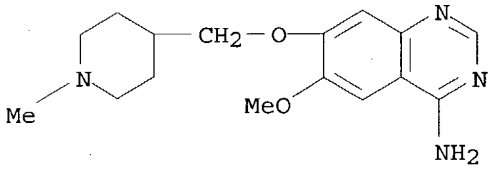


AB The title compds. [I; Q1 = quinazoline ring optionally substituted with halo, CF3 or CN, or a group X1Q3 (wherein X1 = a direct bond, O; Q3 = aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl); R2, R3 = H, alkyl; Z = O, S, NH; Q2 = aryl, arylalkyl] and their pharmaceutically-acceptable salts, useful in the prevention or treatment of T cell mediated diseases or medical conditions such as transplant rejection or rheumatoid arthritis, were prepared and formulated. E.g., a multi-step synthesis of

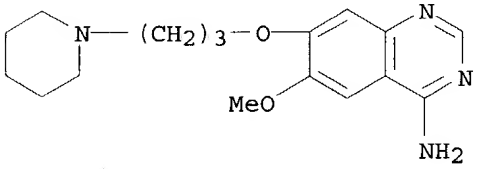
the urea II was given. In general, activity possessed by compds. I may be demonstrated at IC50 of 0.0001- 5 μM against enzyme p56lck binding and IC50 of 0.001-10 μM in in vitro T cell proliferation assay (T cell receptor stimulation).

IT **320365-82-0P 320365-83-1P 320365-84-2P**
320365-85-3P 320365-86-4P 320365-88-6P
320365-89-7P 320365-91-1P 320365-92-2P
320365-93-3P 320365-94-4P 320365-95-5P
320365-96-6P 320365-97-7P 320365-98-8P
320366-04-9P 320366-06-1P 320366-08-3P
320366-10-7P 320366-14-1P 320366-18-5P
320366-20-9P 320366-22-1P 320366-24-3P
320366-26-5P 320366-28-7P 320366-30-1P
320366-31-2P 320366-46-9P 320366-64-1P
320366-66-3P 320366-70-9P 320366-71-0P
320367-02-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)

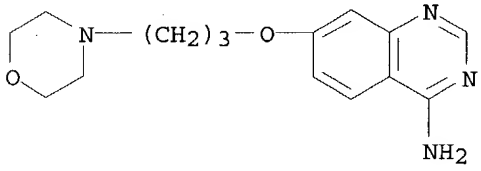
RN 320365-82-0 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



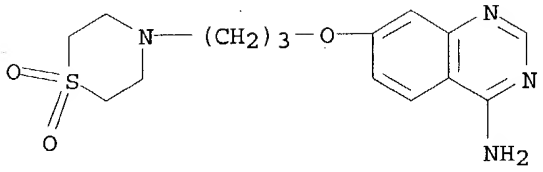
RN 320365-83-1 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



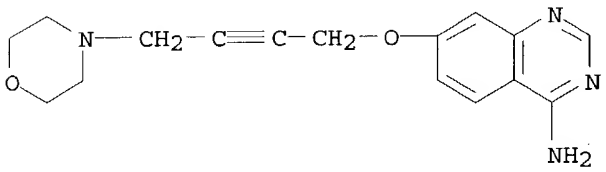
RN 320365-84-2 CAPLUS
CN 4-Quinazolinamine, 7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320365-85-3 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]- (9CI) (CA INDEX NAME)

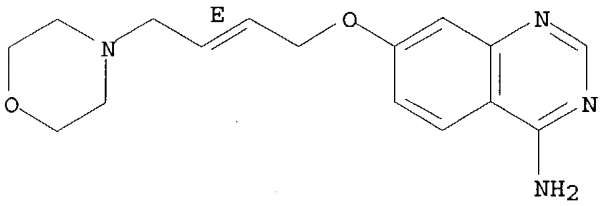


RN 320365-86-4 CAPLUS
CN 4-Quinazolinamine, 7-[[4-(4-morpholinyl)-2-butynyl]oxy]- (9CI) (CA INDEX NAME)

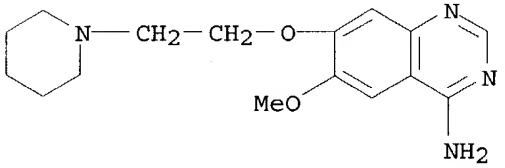


RN 320365-88-6 CAPLUS
CN 4-Quinazolinamine, 7-[[(2E)-4-(4-morpholinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

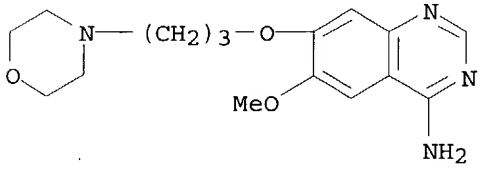
Double bond geometry as shown.



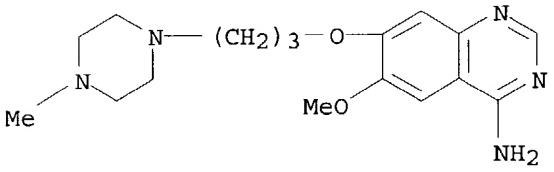
RN 320365-89-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



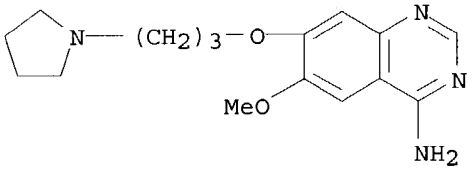
RN 320365-91-1 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



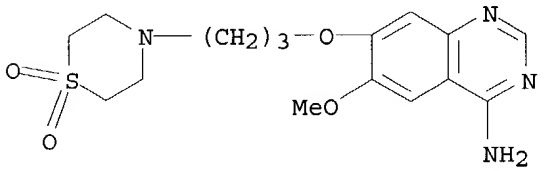
RN 320365-92-2 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



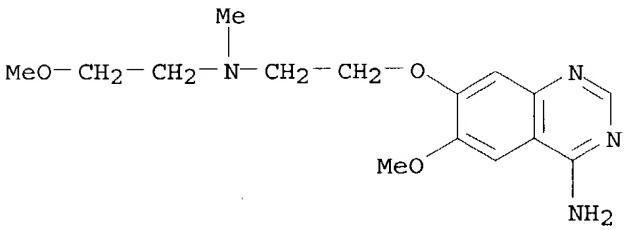
RN 320365-93-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



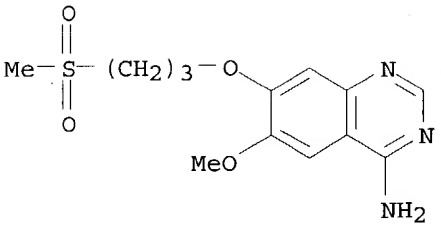
RN 320365-94-4 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1,1-dioxido-4-thiomorpholinyl)propoxy]-6-methoxy- (9CI) (CA INDEX NAME)



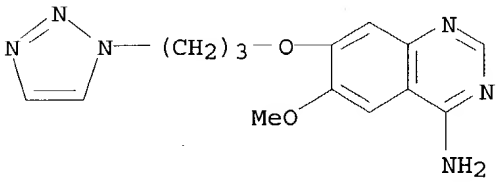
RN 320365-95-5 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-[(2-methoxyethyl)methylamino]ethoxy]- (9CI) (CA INDEX NAME)



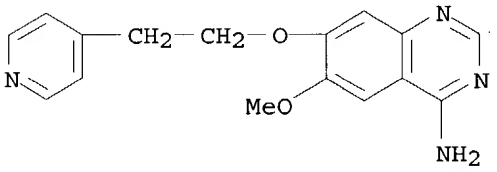
RN 320365-96-6 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



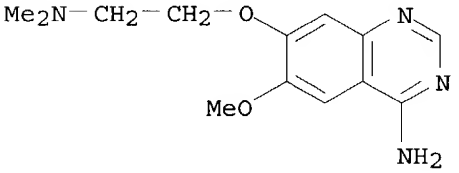
RN 320365-97-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)



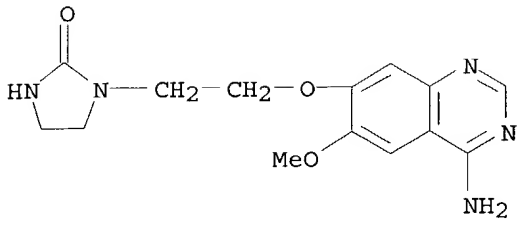
RN 320365-98-8 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-pyridinyl)ethoxy]- (9CI) (CA INDEX NAME)



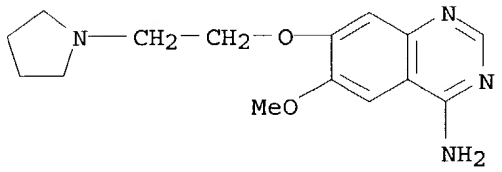
RN 320366-04-9 CAPLUS
CN 4-Quinazolinamine, 7-[2-(dimethylamino)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



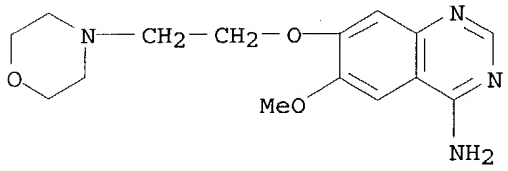
RN 320366-06-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(4-amino-6-methoxy-7-quinazolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



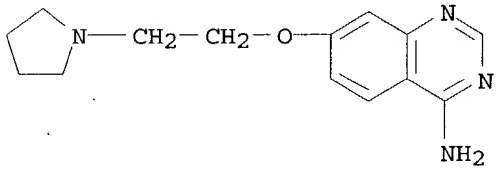
RN 320366-08-3 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



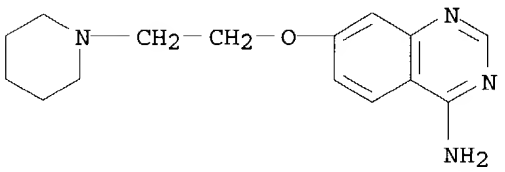
RN 320366-10-7 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320366-14-1 CAPLUS
CN 4-Quinazolinamine, 7-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)

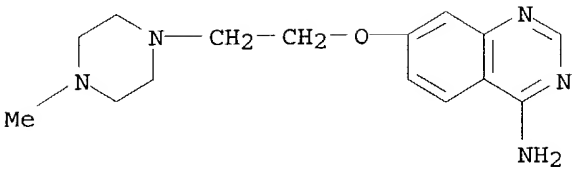


RN 320366-18-5 CAPLUS
CN 4-Quinazolinamine, 7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)

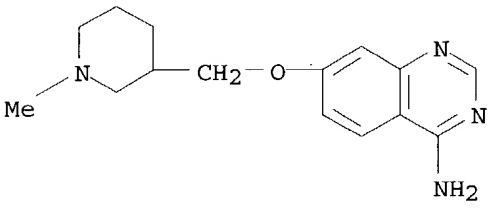


RN 320366-20-9 CAPLUS
CN 4-Quinazolinamine, 7-[2-(4-methyl-1-piperazinyl)ethoxy]- (9CI) (CA INDEX

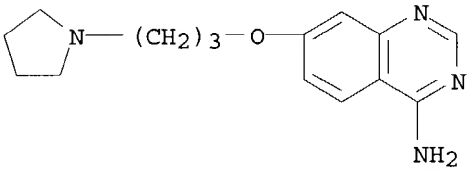
NAME)



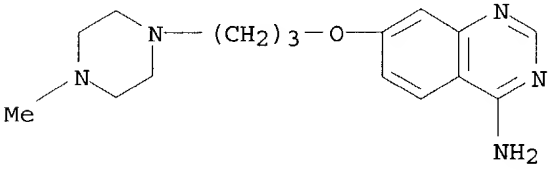
RN 320366-22-1 CAPLUS
CN 4-Quinazolinamine, 7-[(1-methyl-3-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



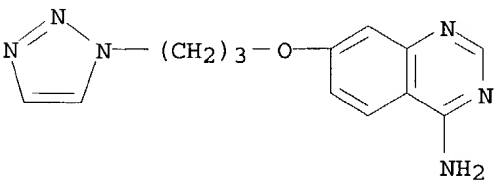
RN 320366-24-3 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-26-5 CAPLUS
CN 4-Quinazolinamine, 7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

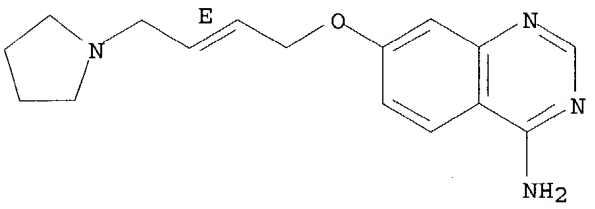


RN 320366-28-7 CAPLUS
CN 4-Quinazolinamine, 7-[3-(1H-1,2,3-triazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)

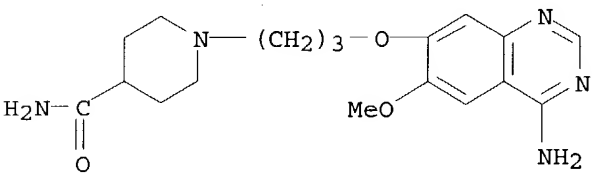


RN 320366-30-1 CAPLUS
CN 4-Quinazolinamine, 7-[[(2E)-4-(1-pyrrolidinyl)-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

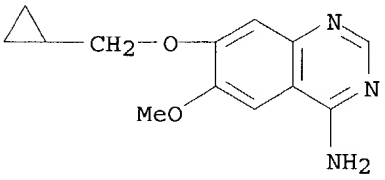
Double bond geometry as shown.



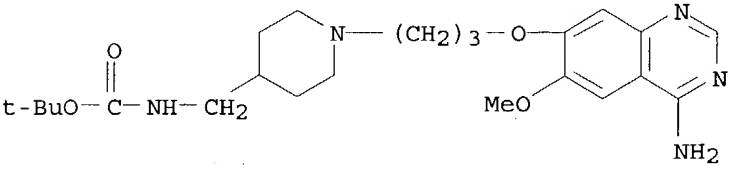
RN 320366-31-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]- (9CI) (CA INDEX NAME)



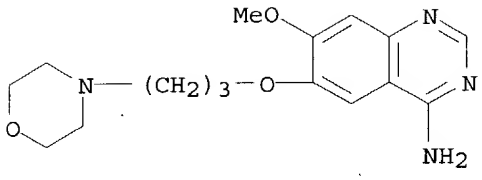
RN 320366-46-9 CAPLUS
CN 4-Quinazolinamine, 7-(cyclopropylmethoxy)-6-methoxy- (9CI) (CA INDEX NAME)



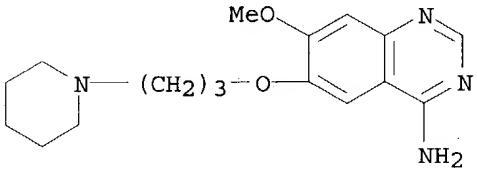
RN 320366-64-1 CAPLUS
CN Carbamic acid, [[1-[3-[(4-amino-6-methoxy-7-quinazolinyl)oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



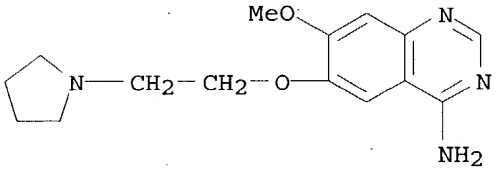
RN 320366-66-3 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



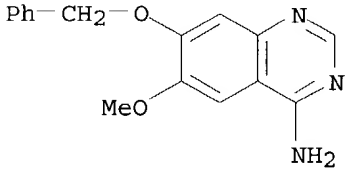
RN 320366-70-9 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 320366-71-0 CAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 320367-02-0 CAPLUS
CN 4-Quinazolinamine, 6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:529192 CAPLUS
DOCUMENT NUMBER: 133:131727
TITLE: Mammalian DNA primase screens and activity modulating agents
INVENTOR(S): Kozlowski, Michael; Aimi, Junko
PATENT ASSIGNEE(S): Geron Corporation, USA
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 624,343, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

09/ 830,227

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096499	A	20000801	US 1997-828192	19970321
US 6274738	B1	20010814	US 1997-977651	19971124

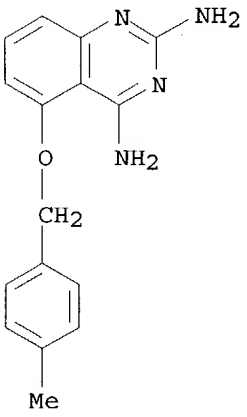
PRIORITY APPLN. INFO.: US 1996-624343 B2 19960322
US 1997-828192 A2 19970321

AB The invention provides DNA primase assays suitable for identifying DNA primase modulating agents, methods of modulating DNA primase activity and compns. which modulate DNA primase. In one assay of the invention, a probe is hybridized to a primase reaction product, with the amount of probe bound providing a measure of activity for the primase enzyme. The probe or product may be immobilized or captured on a solid surface, which is optionally washed to remove non-specifically bound components after hybridization with primase reaction products or probes in the products. Optionally, the assay includes a blocking agent, such as albumin, a nonfat milk protein, polyvinyl pyrrolidone, or Ficoll. The assay identifies DNA primase modifiers which produce: (1) a detectable alteration in DNA primase activity, such as the capacity of a DNA primase to initiate oligoribonucleotide primer synthesis and/or the rate of chain elongation of a nascent oligoribonucleotide primer catalyzed by DNA primase either alone or in conjunction with DNA polymerase α; and/or (2) a detectable alteration in the capacity or rate of a DNA primase/DNA polymerase complex to extend oligoribonucleotide primers by template-directed addition of deoxyribonucleotides; and/or (3) a detectable alteration in the binding capacity, binding affinity, or functional interaction between a DNA primase and an accessory protein.

IT **215925-77-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(primase modulator; mammalian DNA primase screens and activity modulating agents)

RN 215925-77-2 CAPLUS

CN 2,4-Quinazolinediamine, 5-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:439100 CAPLUS

DOCUMENT NUMBER: 133:171750

TITLE: Selectivity analysis of 5-(arylthio)-2,4-diaminoquinazolines as inhibitors of Candida albicans

dihydrofolate reductase by molecular dynamics simulations

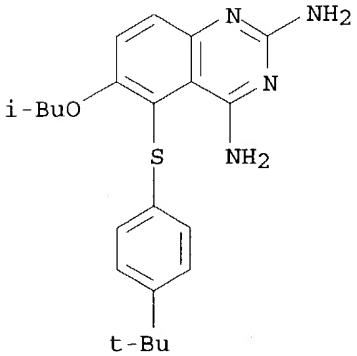
AUTHOR(S): Gokhale, Vijay M.; Kulkarni, Vithal M.
CORPORATE SOURCE: Pharmaceutical Division, Department of Chemical Technology, University of Mumbai, Mumbai, 400 019, India

SOURCE: Journal of Computer-Aided Molecular Design (2000), 14(5), 495-506
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 5-(arylthio)-2,4-diaminoquinazolines are known as selective inhibitors of dihydrofolate reductase (DHFR) from Candida albicans. We have performed docking and mol. dynamics simulations of these inhibitors with C. albicans and human DHFR to understand the basis for selectivity of these agents. Study was performed on a selected set of 10 compds. with variation in structure and activity. Mol. dynamics simulations were performed at 300 K for 45 ps with equilibration for 10 ps. Trajectory data was analyzed on the basis of hydrogen bond interactions, energy of binding and conformational energy difference. The results indicate that hydrogen bonds formed between the compound and the active site residues are responsible for inhibition and higher potency. The selectivity index, i.e. the ratio of I50 against human DHFR to I50 against fungal DHFR, is mainly determined by the conformation adapted by the compds. within the active site of two enzymes. Since the human DHFR active site is rigid, the compound is trapped in a higher energy conformation. This energy difference between the two conformations ΔE mainly governs the selectivity against fungal DHFR. The information generated from this anal. of potency and selectivity should be useful for further work in the area of antifungal research.

IT **168910-96-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(selectivity anal. of 5-(arylthio)-2,4-diaminoquinazolines as inhibitors of Candida albicans dihydrofolate reductase by mol. dynamics simulations)

RN 168910-96-1 CAPLUS
CN 2,4-Quinazolinediamine, 5-[[4-(1,1-dimethylethyl)phenyl]thio]-6-(2-methylpropoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:344836 CAPLUS
DOCUMENT NUMBER: 131:689

09/ 830,227

TITLE: Small molecule intervention in HIV-1 replication
INVENTOR(S): Czarnik, Anthony William; Mack, David Phillip; Mei, Houng-Yau; Moreland, David Winslow
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925327	A2	19990527	WO 1998-US19358	19980916
WO 9925327	A3	19990923		

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

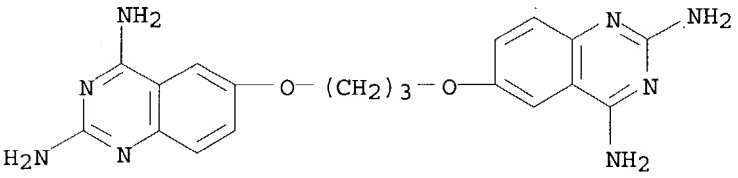
AU 9893182	A1	19990607	AU 1998-93182		19980916
PRIORITY APPLN. INFO.:			US 1997-65559P	P	19971114
			WO 1998-US19358	W	19980916

OTHER SOURCE(S): MARPAT 131:689

AB A series of small mols. which are inhibitors of HIV-1 Tat-TAR interaction is disclosed. The compds. are useful in the treatment of HIV-1 infections. Compds. of the invention include quinoxalinediones and diaminoquinazolines.

IT **225504-32-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small mol. intervention in HIV-1 replication)

RN 225504-32-5 CAPLUS
CN 2,4-Quinazolinediamine, 6,6'-[1,3-propanediylbis(oxy)]bis- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:31977 CAPLUS
DOCUMENT NUMBER: 130:81523
TITLE: Preparation of quinolines and quinazolines useful in the treatment of benign prostatic hyperplasia
INVENTOR(S): Fox, David Nathan Abraham; Mantell, Simon John; Collis, Alan John
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 887344	A1	19981230	EP 1998-303897	19980518
EP 887344	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6048864	A	20000411	US 1998-67588	19980428
AT 255563	E	20031215	AT 1998-303897	19980518
CA 2239603	AA	19981205	CA 1998-2239603	19980603
CA 2239603	C	20030722		
JP 11012274	A2	19990119	JP 1998-156107	19980604
JP 3163281	B2	20010508		
BR 9801778	A	20000321	BR 1998-1778	19980604
US 6417194	B1	20020709	US 2000-499623	20000207

PRIORITY APPLN. INFO.: GB 1997-11650 A 19970605
US 1998-67588 A3 19980428

OTHER SOURCE(S): MARPAT 130:81523

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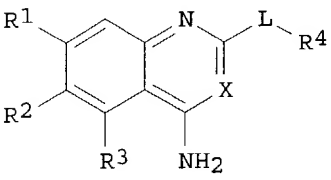

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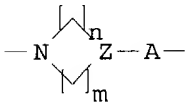

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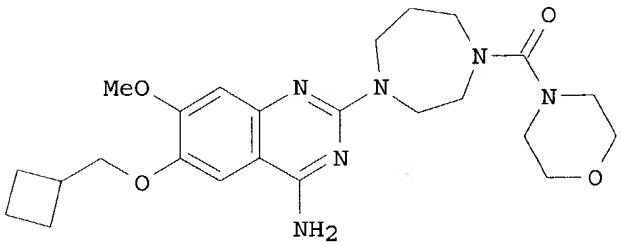


III

AB The title compds. [I; R1 = C1-4 alkoxy optionally substituted by one or more F atoms; R2, R3 = H, (un)substituted C1-6 alkoxy; R4 = (un)substituted 4-7 membered heterocyclic ring containing at least one heteroatom selected from N, O and S which may be optionally fused to a benzene ring or a 5-6 membered heterocyclic ring; X = CH, N; L = absent, II (wherein A is attached to R4; A = CO, SO2; Z = CH, N; m = 1-2, and in addition, when Z = CH, m = 0; n = 1-3; provided that m + n = 2-5), -N(R5)(CH2)pZ(R6)A- (wherein A and Z as defined above; R5, R6 = H, C1-4 alkyl; p = 1-3, and in addition, when Z = CH, p = 0)], useful in therapy, in particular in the treatment of benign prostatic hyperplasia, were prepared Thus, reaction of 4-amino-6-hydroxy-7-methoxy-2-[4-(4-morpholinecarbonyl)-1,4-diazepan-1-yl]quinazoline (preparation given) with (iodomethyl)cyclobutane afforded III which showed pA2 of 9.2 in "Contractile responses of human prostate" screening.

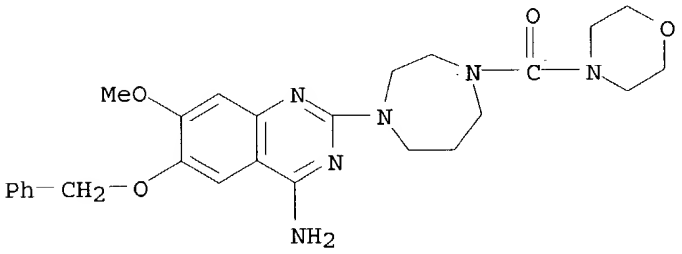
IT **192869-59-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolines and quinazolines useful in the treatment of benign prostatic hyperplasia)

RN 192869-59-3 CAPLUS

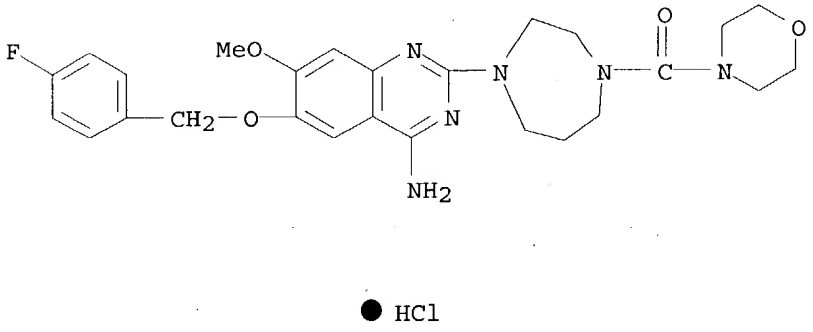
CN 1H-1,4-Diazepine, 1-[4-amino-7-methoxy-6-(phenylmethoxy)-2-quinazolinyl]hexahydro-4-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



IT **218961-94-5P 218961-95-6P 218961-97-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolines and quinazolines useful in the treatment of benign prostatic hyperplasia)

RN 218961-94-5 CAPLUS

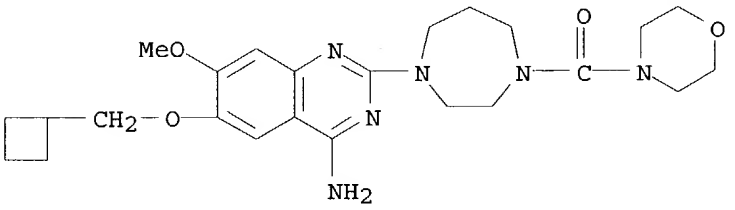
CN 1H-1,4-Diazepine, 1-[4-amino-6-[(4-fluorophenyl)methoxy]-7-methoxy-2-quinazolinyl]hexahydro-4-(4-morpholinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 218961-95-6 CAPLUS

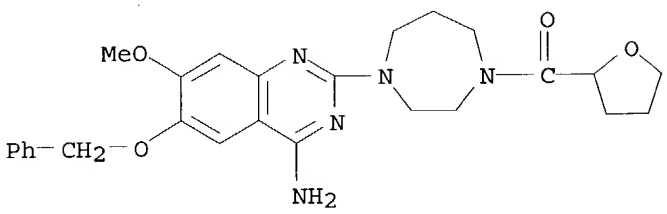
CN 1H-1,4-Diazepine, 1-[4-amino-6-(cyclobutylmethoxy)-7-methoxy-2-quinazolinyl]hexahydro-4-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 218961-97-8 CAPLUS

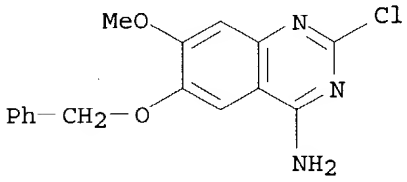
CN 1H-1,4-Diazepine, 1-[4-amino-7-methoxy-6-(phenylmethoxy)-2-quinazolinyl]hexahydro-4-[(tetrahydro-2-furanyl)carbonyl]- (9CI) (CA

INDEX NAME)

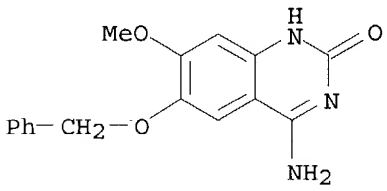


IT **60548-02-9P 192869-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolines and quinazolines useful in the treatment of benign prostatic hyperplasia)

RN 60548-02-9 CAPLUS
CN 4-Quinazolinamine, 2-chloro-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 192869-58-2 CAPLUS
CN 2(1H)-Quinazolinone, 4-amino-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

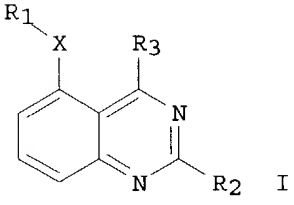
L5 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:745041 CAPLUS
DOCUMENT NUMBER: 130:10618
TITLE: Modulating serine/threonine protein kinase function with quinazoline-based compounds and their use as antitumor and anti-fibrotic agents
INVENTOR(S): Tang, Peng C.; McMahon, Gerald; Weinberger, Heinz; Kutscher, Bernhard; App, Harald
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850370	A1	19981112	WO 1998-US9060	19980501
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9803669	A	19991101	ZA 1998-3669	19980430
AU 9872829	A1	19981127	AU 1998-72829	19980501
EP 981519	A1	20000301	EP 1998-920203	19980501
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6204267	B1	20010320	US 1998-71682	19980501
JP 2001524128	T2	20011127	JP 1998-548336	19980501
US 2001014679	A1	20010816	US 2001-769360	20010126

PRIORITY APPLN. INFO.: US 1997-45351P P 19970502
US 1997-60152P P 19970926
US 1998-71682 A3 19980501
WO 1998-US9060 W 19980501

OTHER SOURCE(S): CASREACT 130:10618; MARPAT 130:10618

GI



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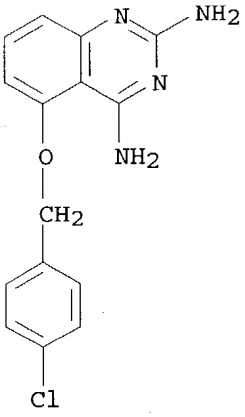
AB The present invention is directed in part towards methods of modulating the function of serine/threonine protein kinases with quinazoline-based compds (I). The methods incorporate cells that express a serine/threonine protein kinase, such as RAF. In addition, the invention describes methods of preventing and treating serine/threonine protein kinase-related abnormal conditions (e.g., tumors, fibrotic disorders, or other signal transduction aberrations) in organisms with a compound identified by the invention. Furthermore, the invention pertains to quinazoline compds. and pharmaceutical compns. comprising these compds. Syntheses and biol. activities are are provided for 38 quinazoline-based compds.

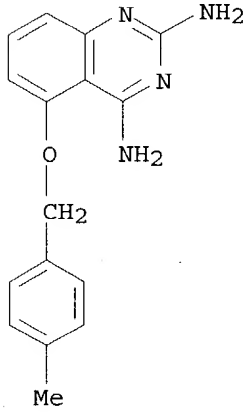
IT **215925-76-1P 215925-77-2P 215925-78-3P**
215925-99-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulating serine/threonine protein kinase function with quinazoline-based compds. and their use as antitumor and anti-fibrotic agents)

RN 215925-76-1 CAPLUS

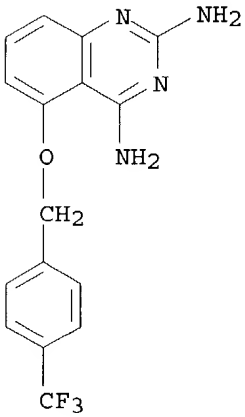
CN 2,4-Quinazolinediamine, 5-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 215925-77-2 CAPLUS
CN 2,4-Quinazolinediamine, 5-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)

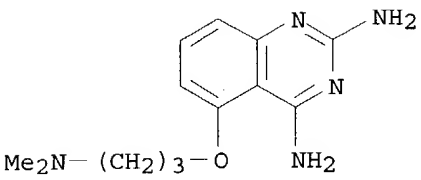


RN 215925-78-3 CAPLUS
CN 2,4-Quinazolinediamine, 5-[[4-(trifluoromethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



RN 215925-99-8 CAPLUS
CN 2,4-Quinazolinediamine, 5-[3-(dimethylamino)propoxy]- (9CI) (CA INDEX

NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:721497 CAPLUS
DOCUMENT NUMBER: 130:3852
TITLE: Quinoline and quinazoline compounds useful in therapy of benign prostatic hyperplasia
INVENTOR(S): Collis, Alan John; Fox, David Nathan Abraham
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 875506	A1	19981104	EP 1998-302968	19980416
EP 875506	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 233242	E	20030315	AT 1998-302968	19980416
ES 2190809	T3	20030816	ES 1998-302968	19980416
CA 2236239	AA	19981101	CA 1998-2236239	19980429
CA 2236239	C	20030318		
BR 9801506	A	20000208	BR 1998-1506	19980429
JP 10316664	A2	19981202	JP 1998-121990	19980501
JP 3076786	B2	20000814		
MX 9803607	A	20000131	MX 1998-3607	19980504
US 2003045525	A1	20030306	US 2002-252852	20020923
US 6649620	B2	20031118		
US 2004034032	A1	20040219	US 2003-640314	20030813

PRIORITY APPLN. INFO.: GB 1997-8917 A 19970501
US 1998-67608 B1 19980428
US 2000-591195 B1 20000609
US 2002-252852 A3 20020923

OTHER SOURCE(S): MARPAT 130:3852
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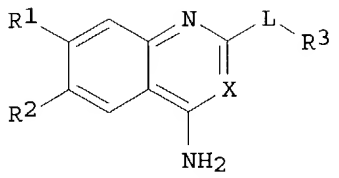

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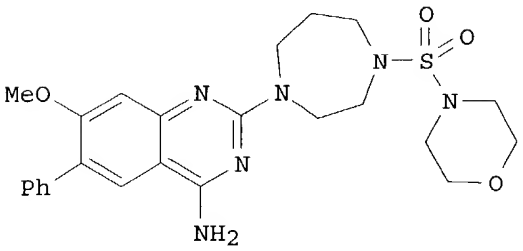


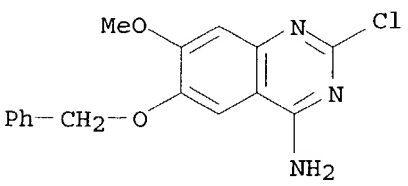
II

AB Title compds. I [wherein R1 = C1-4 alkoxy (un)substituted by 1 or more F atoms; R2 = aryl or heteroaryl, (un)substituted by C1-4 alkyl or SO2NH2; R3 = 4-, 5-, 6-, or 7-membered heterocyclic ring containing at least 1 heteroatom selected from N, O, and S, the ring being optionally fused to a benzene ring or a 5- or 6-membered heterocyclic ring containing at least 1 heteroatom selected from N, O, and S, the ring system as a whole being (un)substituted by OH, C1-4 alkyl, C1-4 alkoxy, halo, and/or NHSO2-(C1-4 alkyl); X = CH or N; L = certain cyclic or chain amino groups; or L may be absent] and their pharmaceutically acceptable salts are useful in the treatment of a variety of disorders including benign prostatic hyperplasia (no data). Examples include syntheses of approx. 20 compds. I and a variety of intermediates. For instance, 5-hydroxy-4-methoxy-2-nitrobenzoic acid was converted to the Me ester (87%), followed by conversion to the 5-triflate (85%), Pd-catalyzed phenylation of the latter (99%), reduction of the nitro group to amino (99%), and 2-step cyclization with sodium cyanate (91%), to give 7-methoxy-6-phenylquinazoline-2,4-dione. Treatment of this with POCl3 and then methanolic NH3 gave 55% 4-amino-2-chloro-7-methoxy-6-phenylquinazoline, which was condensed with 1-(4-morpholinesulfonyl)-1,4-diazepane HCl (16%) to give title compound II.HCl.

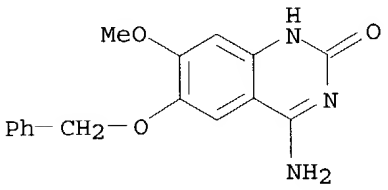
IT **60548-02-9P**, 4-Amino-6-(benzyloxy)-2-chloro-7-methoxyquinazoline
192869-58-2P, 4-Amino-6-(benzyloxy)-2-hydroxy-7-methoxyquinazoline
192869-59-3P, 4-Amino-6-(benzyloxy)-7-methoxy-2-[4-(4-morpholinecarbonyl)-1,4-diazepan-1-yl]quinazoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinoline and quinazoline derivs. for therapy of benign prostatic hyperplasia)

RN 60548-02-9 CAPLUS

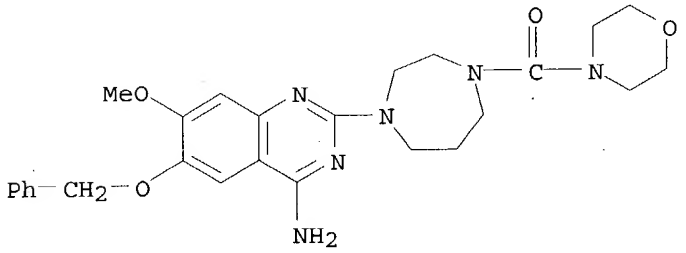
CN 4-Quinazolinamine, 2-chloro-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 192869-58-2 CAPLUS
CN 2(1H)-Quinazolinone, 4-amino-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 192869-59-3 CAPLUS
CN 1H-1,4-Diazepine, 1-[4-amino-7-methoxy-6-(phenylmethoxy)-2-quinazolinyl]hexahydro-4-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:506728 CAPLUS
DOCUMENT NUMBER: 127:121749
TITLE: Preparation of quinolines and quinazolines for treatment of benign prostatic hyperplasia
INVENTOR(S): Collis, Alan John; Fox, David Nathan Abraham; Newman, Julie
PATENT ASSIGNEE(S): Pfizer Research and Development Company, N.V./S.A, UK; Pfizer Inc.; Collis, Alan John; Fox, David Nathan Abraham; Newman, Julie
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723462	A1	19970703	WO 1996-EP5609	19961205
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LK, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9713719	A1	19970717	AU 1997-13719	19961205
AU 708979	B2	19990819		
EP 877734	A1	19981118	EP 1996-943954	19961205
EP 877734	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

SI, LV, FI, RO				
CN 1205693	A	19990120	CN 1996-199303	19961205
BR 9612263	A	19990713	BR 1996-12263	19961205
AT 194598	E	20000715	AT 1996-943954	19961205
JP 3070958	B2	20000731	JP 1997-523272	19961205
JP 11501668	T2	19990209		
ES 2151192	T3	20001216	ES 1996-943954	19961205
PT 877734	T	20001229	PT 1996-96943954	19961205
CA 2236814	C	20010918	CA 1996-2236814	19961205
ZA 9610784	A	19980622	ZA 1996-10784	19961220
US 6103738	A	20000815	US 1998-91370	19980617
NO 9802913	A	19980730	NO 1998-2913	19980622
GR 3034225	T3	20001229	GR 2000-401910	20000817
US 2002049322	A1	20020425	US 2001-812083	20010319
US 6642242	B2	20031104		
US 2003220332	A1	20031127	US 2003-455546	20030604

PRIORITY APPLN. INFO.:

GB 1995-26546	A	19951223
WO 1996-EP5609	W	19961205
US 1998-91370	A3	19980617
US 2000-613500	B1	20000710
US 2001-812083	A3	20010319

OTHER SOURCE(S): MARPAT 127:121749

GI

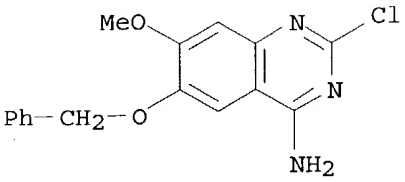
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = C1-4 alkoxy optically substituted by one or more F atoms; R2 = H, C1-6 alkoxy optionally substituted by one or more F atoms; R3 = H, halo, C1-4 alkoxy, CF3; R2R3 = OCH2, the methylene group being attached to the ortho-position of the pendant Ph ring; R4 = 4-6-membered heterocyclic ring containing 1-2 heteroatoms selected from N, O and S, the ring being optionally fused to a benzene ring, (un)substituted 5-6-membered heterocyclic ring containing 1-2 heteroatoms selected from N, O and S; X = CH, N; L = a bond, II (wherein N is attached to the 2-position of the quinoline or quinazoline ring; A = a bond, CO, SO2; Z = CH, N; m = 0-2; n = 1-3), N(R6)(CH2)pZ'(R7)A' (wherein N is attached to the 2-position of the quinoline or quinazoline ring; A', Z' = A, Z; R6, R7 = H, C1-4 alkyl; p = 0-3)], useful in the treatment of inter alia benign prostatic hyperplasia, were prepared Thus, reacting N-benzyl-3S,4S-bis(tert-butyldimethylsilyloxy)pyrrolidine with phosgene in PhMe followed by treatment of the intermediate with homopiperazine in THF, and reaction of the resulting 1-{1-[3S,4S-bis(tert-butyldimethylsilyloxy)pyrrolidine]carbonyl}-1,4-diazepane with 4-amino-2-chloro-6,7-dimethoxy-5-phenylquinazoline in the presence of Et3N in n-BuOH afforded (3S,4S)-III.HCl which showed pA2 of 8.5.

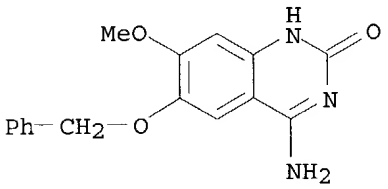
IT **60548-02-9P 192869-58-2P 192869-59-3P**
192869-61-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolines and quinazolines for treatment of benign prostatic hyperplasia)

RN 60548-02-9 CAPLUS

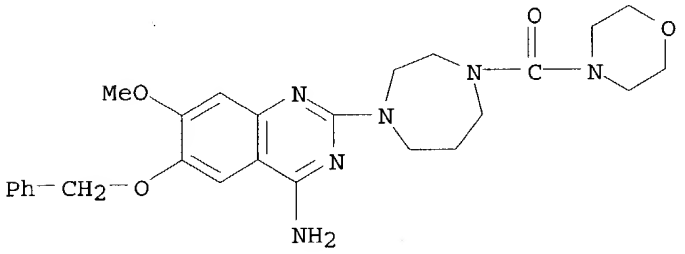
CN 4-Quinazolinamine, 2-chloro-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



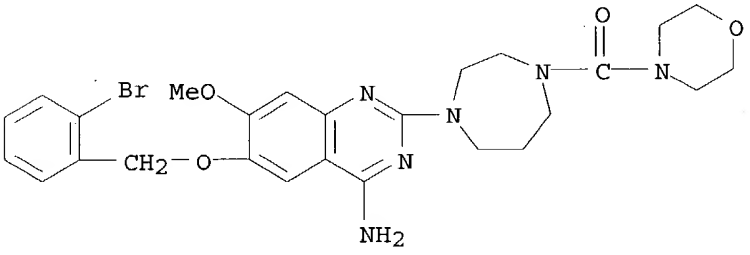
RN 192869-58-2 CAPLUS
CN 2(1H)-Quinazolinone, 4-amino-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 192869-59-3 CAPLUS
CN 1H-1,4-Diazepine, 1-[4-amino-7-methoxy-6-(phenylmethoxy)-2-quinazolinyl]hexahydro-4-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 192869-61-7 CAPLUS
CN 1H-1,4-Diazepine, 1-[4-amino-6-[(2-bromophenyl)methoxy]-7-methoxy-2-quinazolinyl]hexahydro-4-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

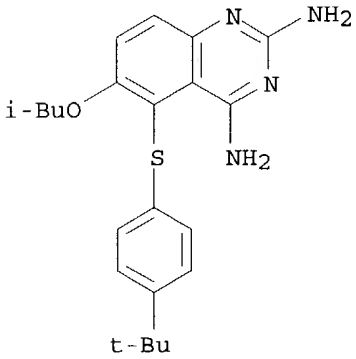


L5 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:746895 CAPLUS
DOCUMENT NUMBER: 123:256633
TITLE: Selective Inhibitors of Candida albicans Dihydrofolate Reductase: Activity and Selectivity of 5-(Arylthio)-2,4-diaminoquinazolines

AUTHOR(S): Chan, Joseph H.; Hong, Jean S.; Kuyper, Lee F.; Baccanari, David P.; Joyner, Suzanne S.; Tansik, Robert L.; Boytos, Christine M.; Rudolph, Sharon K.
CORPORATE SOURCE: Division of Organic Chemistry, Burroughs Wellcome Company, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3608-16
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The recent increase in fungal infections, especially among AIDS patients, has resulted in the need for more effective antifungal agents. This search for such agents was focused on developing compds. which inhibit fungal dihydrofolate reductase (DHFR). A series of 25 5-(arylthio)-2,4-diaminoquinazolines were synthesized as potentially selective inhibitors of Candida albicans DHFR. The majority of the compds. were potent inhibitors of C. albicans DHFR and much less active against human DHFR. High selectivity, as defined by the ratio of the I50 values for human and C. albicans DHFR, was achieved by compds. with bulky and rigid 4-substituents in the phenylthio moiety. For example, 5-[(4-morpholinophenyl)thio]-2,4-diaminoquinazoline displayed a selectivity ratio of 540 and was the most selective inhibitor synthesized to date. Substitution in the 2- or 3-position of the 5-phenylthio group provided only marginal selectivity. 6-Substituted-5-[(4-tert-butylphenyl)thio]-2,4-diaminoquinazolines showed potent activity against the C. albicans enzyme but were equally active against human DHFR. Most of the selective compds. were also good inhibitors of C. albicans cell growth, with min. inhibitory concentration values as low as 0.05 μg/mL.

IT **168910-96-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (arylthio)quinazolinediamines as fungicides)

RN 168910-96-1 CAPLUS
CN 2,4-Quinazolinediamine, 5-[[4-(1,1-dimethylethyl)phenyl]thio]-6-(2-methylpropoxy)- (9CI) (CA INDEX NAME)



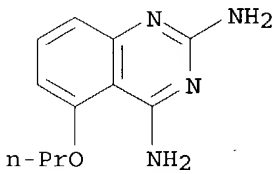
L5 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:276715 CAPLUS
DOCUMENT NUMBER: 122:128394
TITLE: Structure-activity and structure-selectivity studies on diaminoquinazolines and other inhibitors of Pneumocystis carinii and Toxoplasma gondii dihydrofolate reductase
AUTHOR(S): Rosowsky, Andre; Hynes, John B.; Queener, Sherry F.
CORPORATE SOURCE: Dana-Farber Cancer Inst., Harvard Med. Sch., Boston,

MA, 02115, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1995), 39(1), 79-86
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

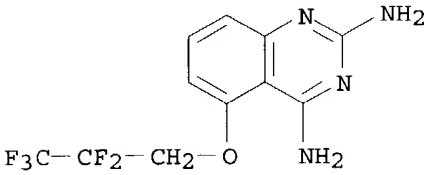
AB Twenty-eight 2,4-diaminoquinazolines with alkyl, halogen, or alkoxy groups at the 5-, 6-, and/or 7-position, eight 2,4-diaminopteridines with alkyl and aralkyl groups at the 6- and 7-positions, five 1,3-diamino-7,8,9,10-tetrahydropyrimido[4,5-c]isoquinolines with an alkyl, alkylthio, or aryl group at the 6-position, and nine 4,6-diamino-1,2-dihydro-s-triazines with one or two alkyl groups at the 2-position and a substituted Ph or naphthyl group at the 1-position were evaluated as inhibitors of dihydrofolate reductase enzymes from Pneumocystis carinii, Toxoplasma gondii, and rat liver. Halogen substitution at the 5- or 6-position of 2,4-diaminoquinazoline favored selective binding to the P. carinii enzyme but not the T. gondii enzyme. For example, the 50% inhibitory concns. of 2,4-diamino-6-chloroquinazoline as an inhibitor of P. carinii, T. gondii, and rat liver dihydrofolate reductase were 3.6, 14, and 29 μM, resp., corresponding to 12-fold selectively for the P. carinii enzyme but only marginal selectivity for the T. gondii enzyme. Greater than fivefold selectively for P. carinii but not T. gondii dihydrofolate reductase was also observed for the 2,4-diaminoquinazoline favored selective binding to the P. carinii enzyme but not the T. gondii enzyme. For example, the 50% inhibitory concns. of 2,4-diamino-6-chloroquinazoline as an inhibitor of P. carinii, T. gondii, and rat liver dihydrofolate reductase were 3.6, 14, and 29 μM, resp., corresponding to 12-fold selectively for the P. carinii enzyme but only marginal selectivity for the T. gondii enzyme. Greater than fivefold selectivity for P. carinii but not T. gondii dihydrofolate reductase was also observed for the 2,4-diaminoquinazolines with 5-Me, 5-fluoro, 5- and 6-bromo, 6-chloro, and 5-chloro-6-bromo substitution. In contrast, alkyl and aralkyl substitution at the 6- and 7-positions of 2,4-diaminopteridines was a favorable feature for selective inhibition of the T. gondii enzyme and, in two cases, for both enzymes. Nine of the fifty-one compds. tested against P. carinii dihydrofolate reductase and four of the thirty compds. tested against T. gondii dihydrofolate reductase displayed fivefold or greater selectively for the microbial enzyme vs. the rat liver enzyme. The most selective against both enzymes was 2,4-diamino-6,7-bis(cyclohexylmethyl)pteridine, with a selectivity ratio 2 orders of magnitude greater than the value reported for trimetrexate and piritrexim. Since substitution at the 7-position is generally considered to be detrimental to the binding of 2,4-diaminopteridines and related compds. to mammalian dihydrofolate reductase, the selectivity observed in this study with the 6,7-bis(cyclohexylmethyl) analog may represent a useful approach to enhancing selective inhibition of the enzyme from nonmammalian species.

IT **123241-92-9 160854-75-1 160854-76-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(structure-activity studies on diaminoquinazolines and other inhibitors of Pneumocystis carinii and Toxoplasma gondii dihydrofolate reductase)

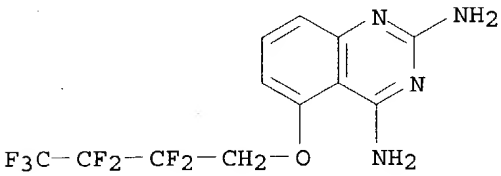
RN 123241-92-9 CAPLUS
CN 2,4-Quinazolinediamine, 5-propoxy- (9CI) (CA INDEX NAME)



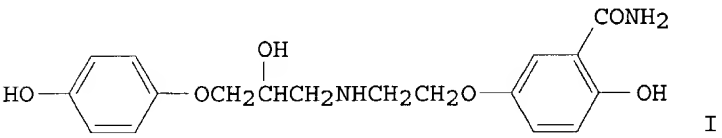
RN 160854-75-1 CAPLUS
CN 2,4-Quinazolinediamine, 5-(2,2,3,3,3-pentafluoropropoxy)- (9CI) (CA INDEX NAME)



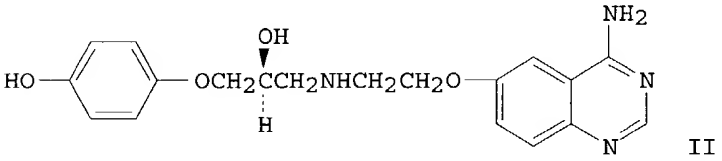
RN 160854-76-2 CAPLUS
CN 2,4-Quinazolinediamine, 5-(2,2,3,3,4,4,4-heptafluorobutoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:315146 CAPLUS
DOCUMENT NUMBER: 120:315146
TITLE: The aminoquinazoline group as a replacement for the salicylamide group: The design and synthesis of a novel highly selective β1 adrenoceptor partial agonist
AUTHOR(S): Block, Michael H.; Kenny, Peter W.; Thomson, David S.; Yu, Man Tat
CORPORATE SOURCE: ICI Pharm., Alderley Park/Macclesfield/Cheshire, SK10 4TG, UK
SOURCE: Drug Design and Discovery (1992), 9(2), 167-76,(plate)
CODEN: DDDIEV; ISSN: 1055-9612
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



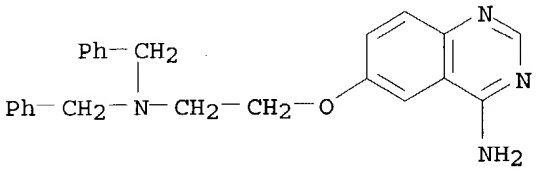
II

AB The high potency at β1 receptors, excellent selectivity (β1/β2) and high degree of agonism displayed by compds. such as I is believed to be due in part to the salicylamide side chain. Two conformations of salicylamide are known to exist in the crystal state, but ab initio calcns. suggest that in the absence of crystal packing forces one of them containing the amide group should be more stable. The aminoquinazoline group was judged to be a good replacement for salicylamide in I, and consequently the oxypropanolamine derivative (II) was prepared II shows extremely high potency at the β1 receptor, and excellent β1/β2 selectivity. It has comparable in vitro activity to I, although it displays a lower degree of agonism. In this system, aminoquinazoline appears to be an excellent mimic of the salicylamide group.

IT **154664-43-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 154664-43-4 CAPLUS

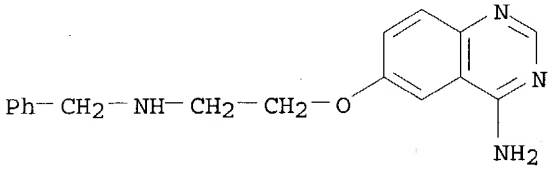
CN 4-Quinazolinamine, 6-[2-[bis(phenylmethyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



IT **154664-42-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with epoxide derivative)

RN 154664-42-3 CAPLUS

CN 4-Quinazolinamine, 6-[2-[(phenylmethyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

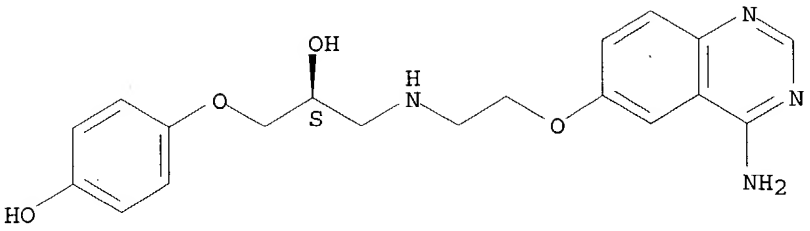


IT **154664-41-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and β1-adrenergic agonists activity of, structure in relation to)

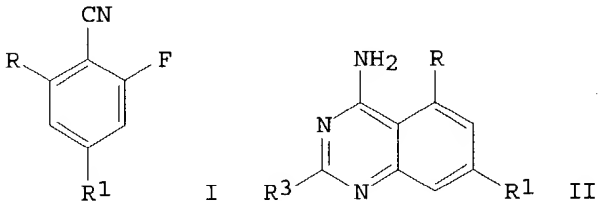
RN 154664-41-2 CAPLUS

CN Phenol, 4-[3-[[2-[(4-amino-6-quinazolinyl)oxy]ethyl]amino]-2-hydroxypropoxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



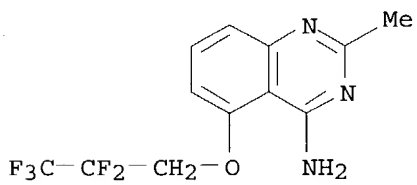
L5 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:679943 CAPLUS
DOCUMENT NUMBER: 115:279943
TITLE: Further studies on the synthesis of quinazolines from 2-fluorobenzonitriles
AUTHOR(S): Hynes, John B.; Tomazic, Alenka; Parrish, Christie A.; Fetzer, Oliver S.
CORPORATE SOURCE: Dep. Pharm. Sci., Med. Univ. South Carolina, Charleston, SC, 29425, USA
SOURCE: Journal of Heterocyclic Chemistry (1991), 28(5), 1357-63
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Fluorobenzonitriles I [R = H, R1 = Cl, Br, iodo, Me; R = cyano, R1 = H; R = Cl, F, CF3, R1 = H; R = OR2, R1 = H, R2 = CH2CF3, CH2CF2CF3, CH2(CF2)2CF3] cyclize with H2NC(:NH)NH2 (II), MeC(:NH)NH2, or HN:CHNH2 to give quinazolines III (R3 = NH2, Me, H). Thus, II cyclized with I (R = H, R1 = Cl, Br, iodo, Me) to give III (R3 = NH2).

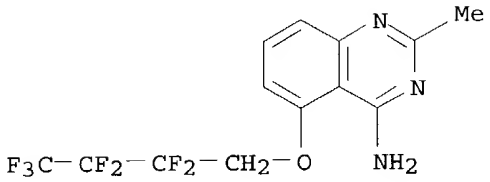
IT **137553-52-7P 137553-53-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 137553-52-7 CAPLUS
CN 4-Quinazolinamine, 2-methyl-5-(2,2,3,3,3-pentafluoropropoxy)- (9CI) (CA INDEX NAME)

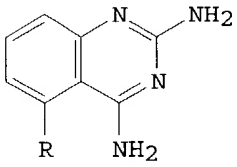


RN 137553-53-8 CAPLUS
CN 4-Quinazolinamine, 5-(2,2,3,3,4,4,4-heptafluorobutoxy)-2-methyl- (9CI)

(CA INDEX NAME)



L5 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:55769 CAPLUS
DOCUMENT NUMBER: 112:55769
TITLE: Antifolate and antibacterial activities of 5-substituted 2,4-diaminoquinazolines
AUTHOR(S): Harris, Neil V.; Smith, Christopher; Bowden, Keith
CORPORATE SOURCE: Dagenham Res. Cent., Rhone-Poulenc Ltd., Dagenham/Essex, RM10 7XS, UK
SOURCE: Journal of Medicinal Chemistry (1990), 33(1), 434-44
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:55769
GI

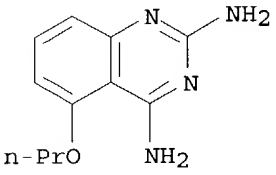


I

AB A series of 5-substituted 2,4-diaminoquinazolines I (R = alkoxy, alkylthio, dialkylamino) has been synthesized starting from 2,6-dinitrobenzonitrile by substitution, reduction, followed by cyclization with chloroformamidine hydrochloride, and evaluated as inhibitors of the enzyme dihydrofolate reductase (DHFR) from both bacterial and mammalian sources. The best compds., e.g. I (R = OMe), show good activity against E. coli DHFR, but there is no significant selectivity for the bacterial over the mammalian enzyme. The structure-activity relationships for enzyme inhibition appear to be complex and not amenable to simple anal.; a hypothesis to explain the observed qual. structure-activity relationships is proposed. The inhibitory activities of the compds. against the growth of intact bacterial cells in vitro closely parallel those for the inhibition of the isolated bacterial enzymes, suggesting that their antifolate action is responsible for their antibacterial effects. Five of the compds. were tested for their ability to cure a systemic E. coli infection in the mouse, but they showed no therapeutic effects at their maximum tolerated doses.

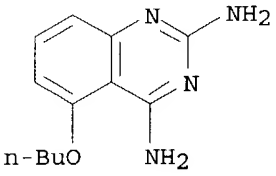
IT **123241-59-8P 123241-60-1P 123241-61-2P**
123241-62-3P 123241-92-9P 123241-93-0P
123241-94-1P 123241-95-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antibacterial, and dihydrofolate reductase inhibition activity of)

RN 123241-59-8 CAPLUS
CN 2,4-Quinazolinediamine, 5-propoxy-, monohydrochloride (9CI) (CA INDEX NAME)



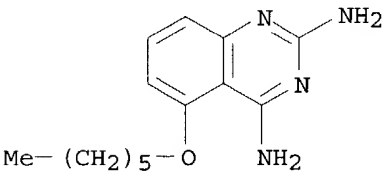
● HCl

RN 123241-60-1 CAPLUS
CN 2,4-Quinazolinediamine, 5-butoxy-, monohydrochloride (9CI) (CA INDEX NAME)



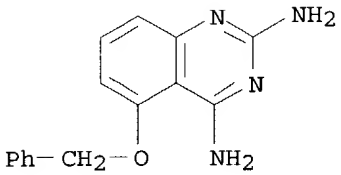
● HCl

RN 123241-61-2 CAPLUS
CN 2,4-Quinazolinediamine, 5-(hexyloxy)-, monohydrochloride (9CI) (CA INDEX NAME)



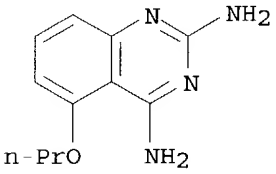
● HCl

RN 123241-62-3 CAPLUS
CN 2,4-Quinazolinediamine, 5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

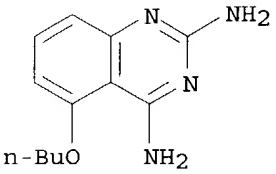


● HCl

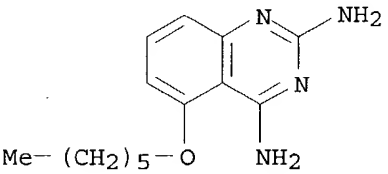
RN 123241-92-9 CAPLUS
CN 2,4-Quinazolinediamine, 5-propoxy- (9CI) (CA INDEX NAME)



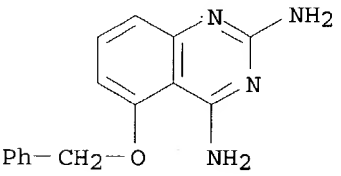
RN 123241-93-0 CAPLUS
CN 2,4-Quinazolinediamine, 5-butoxy- (9CI) (CA INDEX NAME)



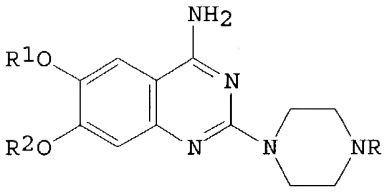
RN 123241-94-1 CAPLUS
CN 2,4-Quinazolinediamine, 5-(hexyloxy)- (9CI) (CA INDEX NAME)



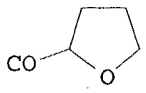
RN 123241-95-2 CAPLUS
CN 2,4-Quinazolinediamine, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:590264 CAPLUS
DOCUMENT NUMBER: 107:190264
TITLE: Metabolic fate of terazosin hydrochloride (1). Metabolism in rats
AUTHOR(S): Shibata, Kunihiko; Igusa, Ritsuko; Inoue, Kaoru; Mukouyama, Hiroko; Nakajima, Junko; Fujino, Akiharu; Sekiya, Tetsuo; Uchide, Masayuki
CORPORATE SOURCE: NRI Life Sci., Kamakura, 247, Japan
SOURCE: Oyo Yakuri (1987), 33(5), 765-74
CODEN: OYYAA2; ISSN: 0369-8033
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



I, R=Q, R^1=R^2=Me
II, R=COCH(OH)$CH_2CH_2CO_2H$, R^1=R^2=Me
III, R=Q, R^1=H, R^2=Me
IV, R=Q, R^1=Me, R^2=H
V, R=H, R^1=R^2=Me

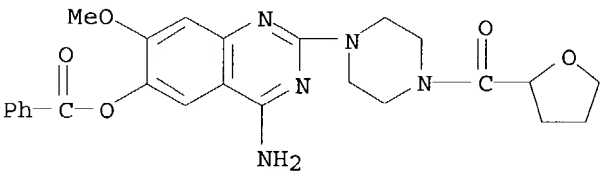


=Q

AB The metabolism of terazosin-HCl (I-HCl) was studied in rats after oral administration of 14C-labeled I-HCl (1 mg/kg). Unchanged I, II-V, and 2,4-diamino-6,7-dimethoxyquinazoline were identified in the bile and urine by comparing them with the authentic samples by TLC co-chromatog. Further identification of II was achieved by a comparison of mass spectrum of the isolated metabolite with that of the authentic compound The major radioactive component excreted in the urine was unchanged I (11.2% of dose). The main metabolites in the urine were II (1.1% of dose) and the conjugates of III. In the bile, unchanged I (0.4% of dose) was a minor radioactive component and the major metabolite was II (10.7% of dose). The main metabolic pathway of I-HCl in rats involved the formation of lactone by oxidation of the THF ring of I followed by the formation of II by hydrolysis of the lactone.

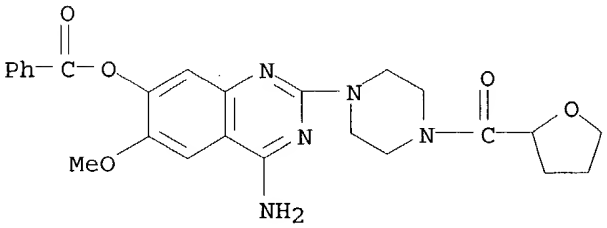
IT **111013-25-3P 111013-26-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 111013-25-3 CAPLUS
CN Piperazine, 1-[4-amino-6-(benzoyloxy)-7-methoxy-2-quinazolinyl]-4-[(tetrahydro-2-furanyl)carbonyl]- (9CI) (CA INDEX NAME)



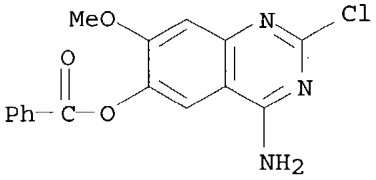
RN 111013-26-4 CAPLUS

CN Piperazine, 1-[4-amino-7-(benzoyloxy)-6-methoxy-2-quinazolinyl]-4-[(tetrahydro-2-furanyl)carbonyl]- (9CI) (CA INDEX NAME)

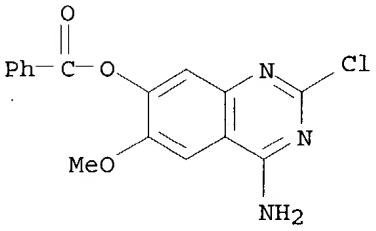


IT **111013-23-1 111013-24-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tetrahydrofurancarbonylpiperazine)

RN 111013-23-1 CAPLUS
CN 6-Quinazolinol, 4-amino-2-chloro-7-methoxy-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 111013-24-2 CAPLUS
CN 7-Quinazolinol, 4-amino-2-chloro-6-methoxy-, benzoate (ester) (9CI) (CA INDEX NAME)

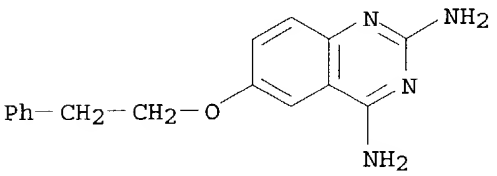


L5 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:571456 CAPLUS
DOCUMENT NUMBER: 103:171456
TITLE: Comparative QSAR of antibacterial dihydrofolate reductase inhibitors
AUTHOR(S): Coats, Eugene A.; Genther, Clara S.; Smith, Carl C.
CORPORATE SOURCE: Coll. Pharm., Univ. Cincinnati, Cincinnati, OH, USA
SOURCE: QSAR Des. Bioact. Compd. (1984), 71-85. Editor(s): Kuchar, M. Prous: Barcelona, Spain.
CODEN: 53SIAU
DOCUMENT TYPE: Conference
LANGUAGE: English

AB The quant. structure-activity relationship (QSAR) of pteridines, pyrimidines, triazines, and quinazolines with regard to inhibition of dihydrofolate reductase (DHFR) [9002-03-3] of Lactobacillus casei was studied. The results were interpreted in light of the known x-ray crystal

structure of the ternary complex of L. casei DHFR with methotrexate and NADPH and with reference to previously conducted QSAR studies on isolated L. casei DHFR. The correlations obtained for pteridines, pyrimidines, and phenyltriazines provide a logical extension of the known methotrexate L. casei-DHFR interactions. In case of quinazolines, however, the results of QSAR do not match with the available conceptualization of inhibitor-active site interaction; the possible modes of quinazoline-DHFR interaction thus remain as conjecture or hypothesis until further exptl. data are available.

IT **98747-31-0**
RL: BIOL (Biological study)
(dihydrofolate reductase inhibition by, QSAR of)
RN 98747-31-0 CAPLUS
CN 2,4-Quinazolinediamine, 6-(2-phenylethoxy)- (9CI) (CA INDEX NAME)



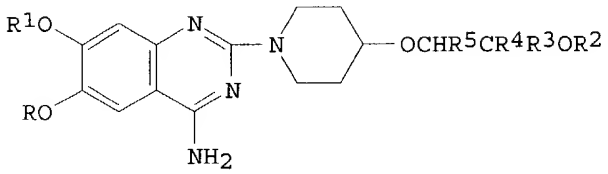
L5 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:30786 CAPLUS
DOCUMENT NUMBER: 94:30786
TITLE: 4-Amino-2-piperidinoquinazoline derivatives and pharmaceutical preparations containing them
INVENTOR(S): Campbell, Simon Fraser; Danilewicz, John Christopher; Greengrass, Colin William
PATENT ASSIGNEE(S): Pfizer Corp., UK
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3003323	A1	19800814	DE 1980-3003323	19800130
DK 7905408	A	19800801	DK 1979-5408	19791218
SE 8000699	A	19800801	SE 1980-699	19800129
FI 8000252	A	19800801	FI 1980-252	19800129
FI 63936	B	19830531		
FI 63936	C	19830912		
AU 8055024	A1	19800807	AU 1980-55024	19800129
AT 8000469	A	19830615	AT 1980-469	19800129
AT 373595	B	19840210		
IL 59252	A1	19831130	IL 1980-59252	19800129
CS 231970	B2	19850116	CS 1980-607	19800129
BE 881448	A1	19800730	BE 1980-199187	19800130
NO 8000230	A	19800801	NO 1980-230	19800130
NL 8000571	A	19800804	NL 1980-571	19800130
JP 55104278	A2	19800809	JP 1980-9905	19800130
JP 57028711	B4	19820618		
FR 2447919	A1	19800829	FR 1980-2012	19800130
FR 2447919	B1	19830211		
GB 2041373	A	19800910	GB 1980-3133	19800130
GB 2041373	B2	19821208		

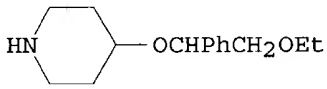
ZA 8000557	A	19810826	ZA 1980-557	19800130
SU 895291	A3	19811230	SU 1980-2877159	19800130
PL 121890	B1	19820630	PL 1980-221683	19800130
CA 1131636	A1	19820914	CA 1980-344714	19800130
HU 27418	O	19831028	HU 1980-202,	19800130
HU 184233	B	19840730		
ES 488129	A1	19801216	ES 1980-488129	19800131
DD 148720	C	19810610	DD 1980-218769	19800131

PRIORITY APPLN. INFO.: GB 1979-3398 19790131

GI



I



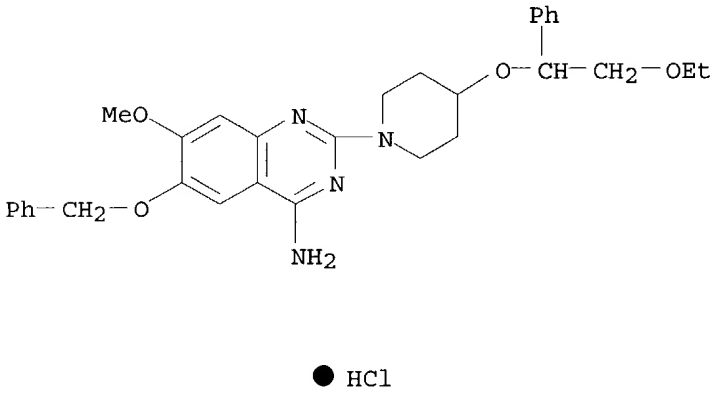
II

AB The title compds. [I; R = alkyl, PhCH2, cycloalkylmethyl; R1 = alkyl; R2 = H, alkyl, (substituted) Ph; R3 = H, Me; R4,R5 = H, Ph] were prepared for use as antihypertensives, e.g., at 1-50 mg/day orally. Thus, 2.6 g 4-amino-2-chloro-6,7-dimethoxyquinazoline was refluxed with 3.0 g (ethoxyphenylethoxy)piperidine II in BuOH to give 2.0 g I.HCl (R = R1 = Me, R2 = Et, R3 = R4 = H, R5 = Ph).

IT **76041-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)

RN 76041-58-2 CAPLUS

CN 4-Quinazolinamine, 2-[4-(2-ethoxy-1-phenylethoxy)-1-piperidinyl]-7-methoxy-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

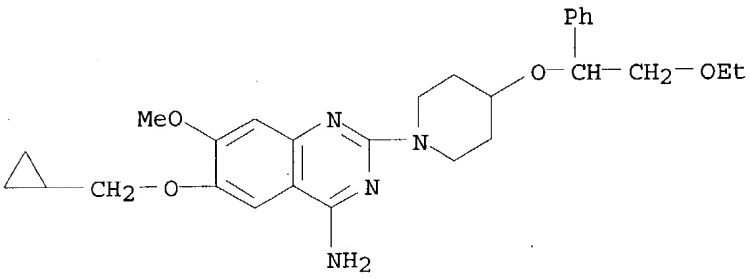
IT **76041-56-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76041-56-0 CAPLUS

CN 4-Quinazolinamine, 6-(cyclopropylmethoxy)-2-[4-(2-ethoxy-1-phenylethoxy)-1-piperidinyl]-7-methoxy-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

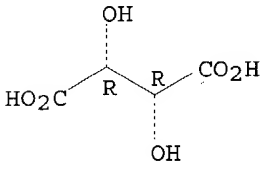
CRN 76041-55-9
CMF C28 H36 N4 O4



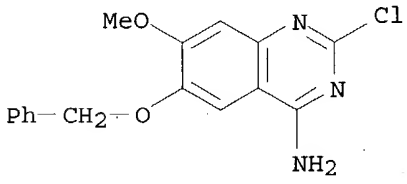
CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



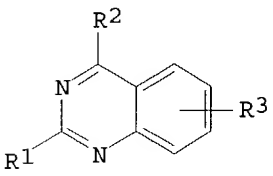
IT **60548-02-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with piperidine derivative)
RN 60548-02-9 CAPLUS
CN 4-Quinazolinamine, 2-chloro-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



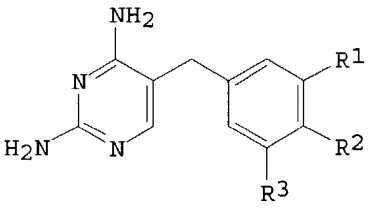
L5 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:25854 CAPLUS
DOCUMENT NUMBER: 86:25854
TITLE: Quantitative structure-activity relation of antimalarial and dihydrofolate reductase inhibition by quinazolines and 5-substituted benzyl-2,4-diaminopyrimidines

09/ 830,227

AUTHOR(S): Hansch, Corwin; Fukunaga, James Y.; Jow, Priscilla Y. C.; Hynes, John B.
CORPORATE SOURCE: Dep. Chem., Pomona Coll., Claremont, CA, USA
SOURCE: Journal of Medicinal Chemistry (1977), 20(1), 96-102
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

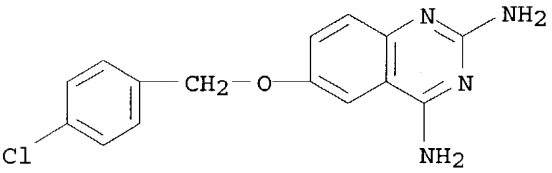


II

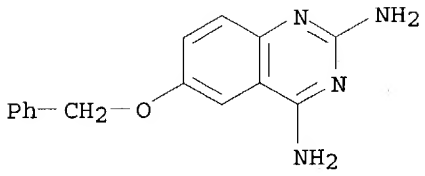
AB A quant. structure-activity relationship (QSAR) for the inhibition of dihydrofolate reductase [9002-03-3] from Streptococcus faecium by 68 quinazolines (I: R1, R2 = NH2, SH, OH; R3 = arylsulfonyl, arylthio, aralkylamino) was formulated. This was compared with a QSAR for inhibition of Escherichia coli dihydrofolate reductase by 10 2,4-diamino-5-benzylpyrimidines (II: R1 = H, OMe; R2 = H, Me, Cl, OH, OMe; R3 = H, Cl, OMe). The QSAR for inhibition of bacterial enzyme was compared with the QSAR for mammalian enzyme inhibition. A QSAR was also formulated for the antimalarial action of 64 quinazolines (I: R1 = R2 = NH2, BuNH, Me2N; R3 = aralkylamino, aralkyloxy, aryloxy, pyridyl, pyrrolyl, thienyl) and 6- and 8-aza analogs against Plasmodium berghei in mice. The antimalarial QSAR is consistent with the in vitro bacterial study.

IT **38711-07-8 38711-08-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimalarial activity of, calcn. in relation to)

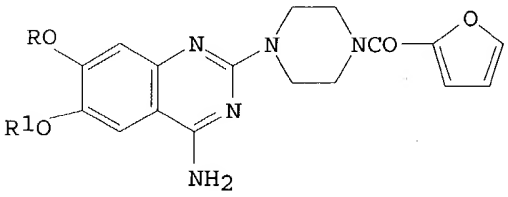
RN 38711-07-8 CAPLUS
CN 2,4-Quinazolinediamine, 6-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 38711-08-9 CAPLUS
CN 2,4-Quinazolinediamine, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:25789 CAPLUS
DOCUMENT NUMBER: 86:25789
TITLE: Synthesis and identification of the major metabolites of prazosin formed in dog and rat
AUTHOR(S): Althuis, T. H.; Hess, H. J.
CORPORATE SOURCE: Med. Res. Lab., Pfizer, Inc., Groton, CT, USA
SOURCE: Journal of Medicinal Chemistry (1976), 20(1), 146-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

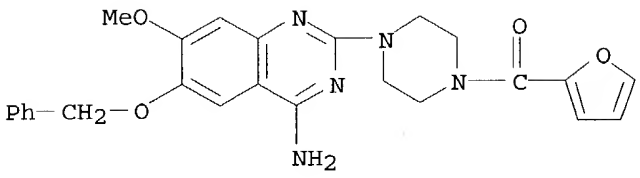


I, R=Me, R^1=H
II, R=H, R^1=Me
III, R=R^1=Me

AB The 6-O-demethyl (I-H2SO4) [60548-10-9] and 7-O-demethyl (II-H2SO4) [60548-11-0] analogs of prazosin-HCl (III-HCl) [19237-84-4] were prepared and I and II were found to be identical with major and significant metabolites of III in dogs and rats, but had less potent blood pressure lowering activity than III in dogs. I and II were prepared from isovanillin [621-59-0] and vanillin [121-33-5], resp., in 10-step reaction sequences. Two minor metabolites of III, 2-(1-piperazinyl)-4-amino-6,7-dimethoxyquinazoline-2HCl [60548-08-5] and 2,4-diamino-6,7-dimethoxyquinazoline [60547-96-8] were prepared and determined to have low hypotensive activity.

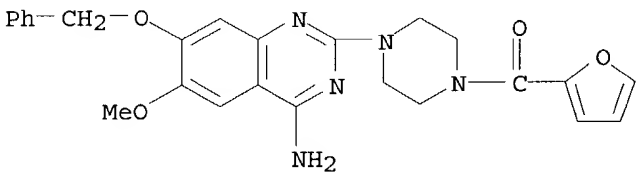
IT **60548-03-0P 60564-38-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)

RN 60548-03-0 CAPLUS
CN Piperazine, 1-[4-amino-7-methoxy-6-(phenylmethoxy)-2-quinazolinyl]-4-(2-furanylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



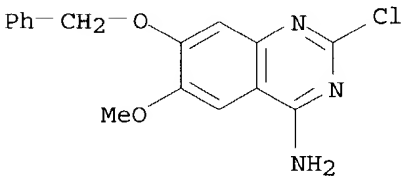
● HCl

RN 60564-38-7 CAPLUS
CN Piperazine, 1-[4-amino-6-methoxy-7-(phenylmethoxy)-2-quinazolinyl]-4-(2-furanylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

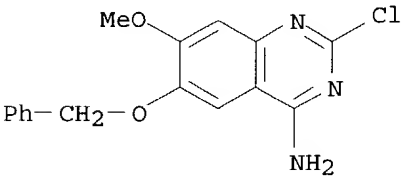


● HCl

IT **52759-42-9P 60548-02-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with piperazine derivative)
RN 52759-42-9 CAPLUS
CN 4-Quinazolinamine, 2-chloro-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 60548-02-9 CAPLUS
CN 4-Quinazolinamine, 2-chloro-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:413549 CAPLUS
DOCUMENT NUMBER: 81:13549
TITLE: 2-(or 4)-Aminoquinazoline derivatives
INVENTOR(S): Danilewicz, John C.; Kemp, John E. G.; Wright, James Robert
PATENT ASSIGNEE(S): Pfizer Corp.
SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

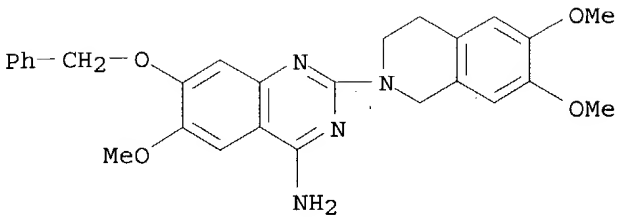
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2345064	A1	19740411	DE 1973-2345064	19730906
DE 2345064	C3	19791025		
DE 2345064	B2	19790308		
ZA 7305660	A	19740731	ZA 1973-5660	19730820
IN 139088	A	19760508	IN 1973-CA1920	19730821
AU 7359606	A1	19750227	AU 1973-59606	19730824
DK 131725	B	19750825	DK 1973-4782	19730830
CA 995673	A1	19760824	CA 1973-180089	19730831
JP 49085078	A2	19740815	JP 1973-98934	19730904
US 3960861	A	19760601	US 1973-394491	19730905
BE 804558	A1	19740306	BE 1973-135402	19730906
GB 1383409	A	19750212	GB 1972-41992	19730906
AT 7307745	A	19751015	AT 1973-7745	19730906
AT 330785	B	19760726		
DE 2366106	B1	19790621	DE 1973-2366106	19730906
DE 2366106	C2	19800214		
NL 7312350	A	19740312	NL 1973-12350	19730907
NL 161152	C	19800115		
NL 161152	B	19790815		
FR 2198751	A1	19740405	FR 1973-32315	19730907
ES 418612	A1	19760716	ES 1973-418612	19730908
SU 555850	D	19770425	SU 1975-2095549	19750108
IN 141109	A	19770122	IN 1975-CA1357	19750711
US 4044136	A	19770823	US 1976-663627	19760303

PRIORITY APPLN. INFO.: GB 1972-41992 19720909
US 1973-394491 19730905

GI For diagram(s), see printed CA Issue.

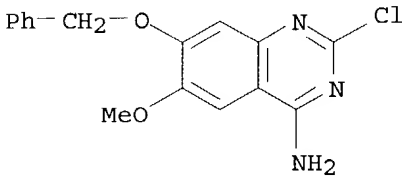
AB Antihypertensive tetrahydroisoquinolinyl (amino)quinazolines (40 compds.) including I (R = R3 = H, R1 = OMe, R2 = OMe, OCHMe2, OEt, OCH2-CH : CH2; R = R3 = H, R1 = OEt, R2 = OMe, OEt; R = R1 = H, R2 = R3 = OMe; R = Me, R1 = R2 = OMe, R3 = H) were prepared Thus, 12 g I (R = R3 = H, R1 = R2 = OMe) was obtained by treating 12 g 4-amino-2-chloro-6,7-dimethoxyquinazoline with 9.6 g 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline.

IT **52759-39-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52759-39-4 CAPLUS
CN 4-Quinazolinamine, 2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-6-methoxy-7-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

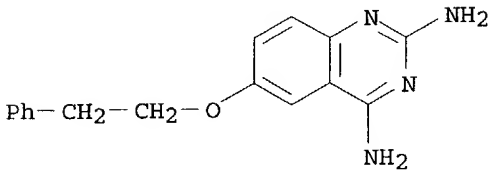
IT **52759-42-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tetrahydroisoquinolines)
RN 52759-42-9 CAPLUS
CN 4-Quinazolinamine, 2-chloro-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:547966 CAPLUS
DOCUMENT NUMBER: 77:147966
TITLE: Antimalarial drugs. 27. Folate antagonists. 5. Antimalarial and antibacterial effects of 2,4-diamino-6-(aryloxy and aralkoxy)quinazoline antimetabolites
AUTHOR(S): Elslager, Edward F.; Clarke, Jane; Johnson, Judith; Werbel, Leslie M.; Davoll, John
CORPORATE SOURCE: Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA
SOURCE: Journal of Heterocyclic Chemistry (1972), 9(4), 759-73
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:147966
AB Out of 45 2,4-diamino-6-(aryloxy and aralkoxy)quinazolines, such as 2,4-diamino-6-(2,4,5-trichlorophenoxy)quinazoline (I) [36804-91-8], 2,4-diamino-6-[(1-bromo-2-naphthyl)oxy]quinazoline [36804-92-9], and 2,4-diamino-6-(phenethyloxy)quinazoline-HCl [**36804-93-0**], 11 compds. were active orally at 6.3-174 mg/kg/day for 6 days against Plasmodium berghei in mice, while 7 compds. were active s.c. at 40-640 mg/kg after a single dose. Fifteen compds. had antibacterial activity in vitro against Streptococcus faecalis, normal and drug-resistant Staphylococcus aureus, Escherichia coli, and Shigella sonnei with min. inhibitory concns. of <0.25-20 μg/ml (gradient plate).
IT **36804-93-0 38711-07-8 38711-08-9**
RL: PRP (Properties)
(as antimalarials and bactericides)
RN 36804-93-0 CAPLUS

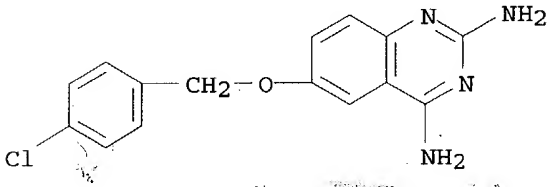
09/ 830,227

CN 2,4-Quinazolinediamine, 6-(2-phenylethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

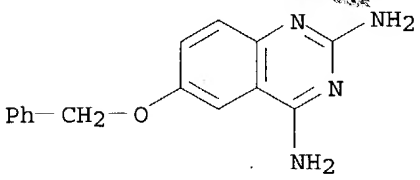


● HCl

RN 38711-07-8 CAPLUS
CN 2,4-Quinazolinediamine, 6-[(4-chlorophenyl)methoxy]- (9CI) (CA INDEX NAME)



RN 38711-08-9 CAPLUS
CN 2,4-Quinazolinediamine, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 17:03:50 ON 24 MAR 2004
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L2 STRUCTURE UPLOADED
L3 81 S L1 FUL
L4 0 S L2 FUL

FILE 'CAPLUS' ENTERED AT 17:04:51 ON 24 MAR 2004
L5 25 S L3